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FILE 'IFICDB' ENTERED AT 13:48:06 ON 06 JAN 1998
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FILE COVERS 1950 TO PATENT PUBLICATION DATE: 23 Dec 1997 (19971223/PD)
FILE LAST UPDATED: 6 Jan 1998 (19980106/ED)
HIGHEST PATENT NUMBER: US5701603
UNITERM INDEXING LAST UPDATED: 23 Dec 1997 (971223/UP)
INDEXING CURRENT THROUGH PAT PUB DATE: 26 Aug 1997 (19970826/PD)

The (S) proximity operator should be used to correctly link chemical
uniterms with role numbers.  Enter 'HELP (S)' at an arrow prompt for
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=> s ((34553 or 34615)(l)(33696 or 33697 or 33698 or 33700 or 34140 or
34141)(l)(30971 or 30972 or 30973 or 30295))/fg

34553 R I C3N3 1,3,5-TRIAZINE RING (P)
34615 R I C4N2 PYRIMIDINE RING (P)
33696 F O ETHER FG (M)
33697 F O ETHER FG (P-1)
33698 F O ETHER FG (P-2)
33700 F O ETHER FG (P-4+)
34140 F S SULFIDE, THIOETHER FG (P-1)
34141 F S SULFIDE, THIOETHER FG (P-2+)
30971 F CO2 CARBOXYLIC ESTER FG (P-1)
30972 F CO2 CARBOXYLIC ESTER FG (P-2)
30973 F CO2 CARBOXYLIC ESTER FG (P-3)
30295 F CHO2 CARBOXYLIC ACID FG (P-1)
         11714 34553/FG
         14758 34615/FG
         86203 33696/FG
        149329 33697/FG
        109553 33698/FG
         68891 33700/FG
         59804 34140/FG
         26578 34141/FG
        110265 30971/FG
         59358 30972/FG
         28603 30973/FG
        106072 30295/FG
L1        9026 ((34553 OR 34615)(L)(33696 OR 33697 OR 33698 OR 33700 OR
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                34140 OR 34141)(L)(30971 OR 30972 OR 30973 OR 30295))/FG

=> s l1(notl)(34211 or 33918 or 34128 or 33962or 33079 or 30384 or 30321 or
33895 or 30602 or 33979 or 33847 or 30995)/fg

34211 FUSED OR BRIDGED RING (M)
33918 F O3S SULFONIC ACID, SULFONATE FG (M)
34128 F QUATERNARY AMMONIUM FG (M)
30384 F CNO2 CARBAMIC ACID, CARBAMATE FG (M)
30321 F CNO ISOCYANATE FG (M)
33895 F O3P PHOSPHONIC ACID, PHOSPHONATE FG (M)
30602 F CN2O UREA FG (M)
33979 F O4S SULFATE FG (M)
33847 F O2SI O-SI-O (M)
30995 F CO3 CARBONATE FG (M)
        100231 34211/FG
         25088 33918/FG
         21402 34128/FG
             0 33962OR 33079/FG
          8144 30384/FG
          6570 30321/FG
          5338 33895/FG
          5202 30602/FG
          4726 33979/FG
          4334 33847/FG
          3862 30995/FG
L2        6196 L1(NOTL)(34211 OR 33918 OR 34128 OR 33962OR 33079 OR 30384
               OR 30321 OR 33895 OR 30602 OR 33979 OR 33847 OR 30995)/FG

=> s (02797)/un or hypertension?

02797 HYPERTENSIVE AGENTS
          1125 (02797)/UN
          3207 HYPERTENSION?
L3        4230 (02797)/UN OR HYPERTENSION?

=> s myocardial infarct or 03568/un

03568 NECROSIS
           853 MYOCARDIAL
           128 INFARCT
            41 MYOCARDIAL INFARCT
                 (MYOCARDIAL(W)INFARCT)
           388 03568/UN
L4         417 MYOCARDIAL INFARCT OR 03568/UN

=> s raynaud's?

MISMATCHED QUOTE 'RAYNAUD'S?'
Quotation marks (or apostrophes) must be used in pairs,
one before and one after the expression you are setting
off or masking.

=> s raynauds? or raynaud?

             5 RAYNAUDS?
            55 RAYNAUD?
L5          55 RAYNAUDS? OR RAYNAUD?

=> s 00441 or atherosclerosis

            20 00441
           660 ATHEROSCLEROSIS
```

```
L6          680 00441 OR ATHEROSCLEROSIS

=> s 08423

L7            0 08423

=> s 08423/un

08423 ENDOTHELIAL CELLS
L8          381 08423/UN

=> s 00441/un or l6

00441 ATHEROSCLEROSIS
         1250 00441/UN
L9       1408 00441/UN OR L6

=> s 05859/un or 05860/un

05859 VASOCONSTRICTION
05860 VASODILATION
          554 05859/UN
         2470 05860/UN
L10      2938 05859/UN OR 05860/UN

=> s 514241000-514276000/nclr

L11      8483 514241000-514276000/NCLR

=> d his


     (FILE 'HOME' ENTERED AT 13:47:52 ON 06 JAN 1998)

     FILE 'IFICDB' ENTERED AT 13:48:06 ON 06 JAN 1998
L1        9026 S ((34553 OR 34615)(L)(33696 OR 33697 OR 33698 OR 33700 O
L2        6196 S L1(NOTL)(34211 OR 33918 OR 34128 OR 33962OR 33079 OR 30
L3        4230 S (02797)/UN OR HYPERTENSION?
L4         417 S MYOCARDIAL INFARCT OR 03568/UN
L5          55 S RAYNAUDS? OR RAYNAUD?
L6         680 S 00441 OR ATHEROSCLEROSIS
L7           0 S 08423
L8         381 S 08423/UN
L9        1408 S 00441/UN OR L6
L10       2938 S 05859/UN OR 05860/UN
L11       8483 S 514241000-514276000/NCLR

=> s l2 and l10

L12        104 L2 AND L10

=> s l11 and l12

L13         38 L11 AND L12

=> s l3 or l4 or l5 or l9

L14       5913 L3 OR L4 OR L5 OR L9

=> s l14 and l13

L15         13 L14 AND L13
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=> s l12 and l8

L16          4 L12 AND L8

=> s l2 and l8

L17          7 L2 AND L8

=> s l15 or l16 or l17

L18         20 L15 OR L16 OR L17

=> s l13 or l18

L19         44 L13 OR L18

=> s l19 not l18

L20         24 L19 NOT L18

=> d l18 1- bib,ab

YOU HAVE REQUESTED DATA FROM 20 ANSWERS - CONTINUE? Y/(N):y


L18  ANSWER 1 OF 20  IFICDB  COPYRIGHT 1998 IFI
AN    2803555  IFIPAT;IFIUDB;IFICDB
TI    THIENYL-, FURYL- AND PYRROLYL SULFONAMIDES AND DERIVATIVES THEREOF
      THAT MODULATE THE ACTIVITY OF ENDOTHELIN; VASOCONSTRICTORS
INF   Balaji, Vitukudi N, Encinitas, CA
      Castillo, Rosario S, San Diego, CA
      Chan, Ming F, San Diego, CA
      Kois, Adam, San Diego, CA
      Raju, Bore G, San Diego, CA
      Verner, Erik J, San Diego, CA
      Wu, Chengde, San Diego, CA
      Yalamoori, Venkatachalapathi, San Diego, CA
IN    Balaji Vitukudi N; Castillo Rosario S; Chan Ming F; Kois Adam; Raju
      Bore G; Verner Erik J; Wu Chengde; Yalamoori Venkatachalapathi
PAF   Texas Biotechnology Corporation, Houston, TX
PA    Texas Biotechnology Corp (36865)
EXNAM McKane, Joseph
AG    Brown, Martin, Haller & McClain
      Seidman, Stephanie L
PI    US  5594021  970114
AI    US  95-477223  950606
RLI   US  93-65202  930520  CONTINUATION-IN-PART  ABANDONED
      US  93-100125  930730  CONTINUATION-IN-PART  ABANDONED
      US  93-100565  930730  CONTINUATION-IN-PART  ABANDONED
      US  93-142159  931021  CONTINUATION-IN-PART  5464853
      US  93-142552  931021  CONTINUATION-IN-PART  5514691
      US  93-142631  931021  CONTINUATION-IN-PART  ABANDONED
      US  94-222287  940405  CONTINUATION-IN-PART
      US  94-247072  940520  CONTINUATION-IN-PART
      US  95-417075  950404  CONTINUATION-IN-PART  ABANDONED
FI    US  5594021  970114
      US  5464853
      US  5514691
DT    UTILITY
FS    CHEMICAL
CLMN  174
AB    Thienyl-, furyl- and pyrrolyl-sulfonamides and methods for
      modulating or altering the activity of the endothelin family of
```

peptides are provided. In particular, N(isoxazolyl)thienylsulfonamides, N-(isoxazolyl)furylsulfonamides and N-(isoxazolyl)pyrrolylsulfonamides and methods using these sulfonamides for inhibiting the binding of an endothelin peptide to an endothelin receptor by contacting the receptor with the sulfonamide are provided. Methods for treating endothelinmediated disorders by administering effective amounts of one or more of these sulfonamides or prodrugs thereof that inhibit or increase the activity of endothelin are also provided.

L18 ANSWER 2 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 2798691 IFIPAT;IFIUDB;IFICDB
TI BENZENESULFONAMIDE DERIVATIVE AND PROCESS FOR PREPARING THEREOF; ENDOTHELIN ANTAGONISTS
INF Kikkawa, Kohei, Kawaguchi, JP
Kohno, Rikako, Omiya, JP
Yamada, Koichiro, Saitama-ken, JP
Yasuda, Kosuke, Saitama-ken, JP
IN Kikkawa Kohei (JP); Kohno Rikako (JP); Yamada Koichiro (JP); Yasuda Kosuke (JP)
PAF Tanabe Seiyaku Co, Ltd, Osaka, JP
PA Tanabe Seiyaku Co Ltd JP (82733)
EXNAM Grumbling, Matthew V
AG Finnegan, Henderson, Farabow, Garrett & Dunner, LLP
PI US 5589478 961231
AI US 94-356958 941216
PRAI JP 93318779 931217
JP 94140628 940623
JP 94183553 940804
FI US 5589478 961231
DT UTILITY
FS CHEMICAL
MRN 7261 MFN: 0101
CLMN 15
AB A benzenesulfonamide derivative of the formula (I):

D R A W I N G

wherein Ring A and Ring B are the same or different and each substituted or unsubstituted benzene ring, Q is a single bond or a group of the formula: -O-, -S-, -SO-, -SO2- or -CH2-, Y is a group of the formula: -O-, -S- or -NH-, Alk is lower alkylene group or lower alkenylene group, Z is a single bond or a group of the formula: -O- or -NH-, R is a substituted or unsubstituted aromatic heterocyclic or aryl group, R1 is hydrogen atom, trifluoromethyl group, substituted or unsubstituted lower alkyl group, substituted or unsubstituted lower alkenyl group, mono- or di-lower alkylamino group, substituted or unsubstituted lower alkylthio group, substituted or unsubstituted lower alkoxy group, substituted or unsubstituted lower alkynyl group, aromatic heterocyclic group, substituted or unsubstituted aliphatic heterocyclic group or aryl group, provided that when Z is a single bond, R is a substituted or unsubstituted aromatic heterocyclic group, or a pharmaceutically acceptable salt thereof, and processes for preparing the same, these compounds having endothelin antagonistic activity and being useful in the prophylaxis or treatment of various diseases caused by endothelin.

L18 ANSWER 3 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 2745319 IFIPAT;IFIUDB;IFICDB
TI SULFONYLAMINOPYRIMIDINES; ENDOTHELIN RECEPTOR INHIBITORS
INF Breu, Volker, Schliengen, DE
Burri, Kaspar, Binningen, CH

Cassal, Jean-Marie, Mulhouse, FR
Clozel, Martine, Saint-Louis, FR
Hirth, Georges, Huningue, FR
Loffler, Bernd-Michael, Oberrimsingen, DE
Muller, Marcel, Frenkendorf, CH
Neidhart, Werner, Bartenheim, FR
Ramuz, Henri, Birsfelden, CH
IN Breu Volker (DE); Burri Kaspar (CH); Cassal Jean-Marie (FR); Clozel Martine (FR); Hirth Georges (FR); Loffler Bernd-Michael (DE); Muller Marcel (CH); Neidhart Werner (FR); Ramuz Henri (CH)
PAF Hoffmann-La Roche Inc, Nutley, NJ
PA Hoffmann-La Roche Inc (39424)
EXNAM Ford, John M
AG Gould, George M
Johnston, George W
Silverman, Robert A
PI US 5541186 960730
AI US 94-266072 940627
PRAI CH 931924 930628
CH 941575 940520
FI US 5541186 960730
DT UTILITY; REASSIGNED
FS CHEMICAL
CLMN 23
AB A compound of the formula

D R A W I N G

wherein R1 to R, Ra, RbX, Y, Z, m and n have the significance given in the description, can be used as medicaments, especially for the treatment and prophylaxix of conditions which are associated with endothelin activities.

L18 ANSWER 4 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 2742872 IFIPAT;IFIUDB;IFICDB
TI ENDOTHELIN ANTAGONISTS BEARING 5-MEMBERED HETEROCYCLIC AMIDES; TREATMENT OF HYPERTENSION, CARDIOVASCULAR DISORDERS, PROSTATE HYPERPLASIA OR ANTIINFLAMMATORY AGENTS
INF Ashton, Wallace T, Clark, NJ
Chang, Linda L, Wayne, NJ
Greenlee, William J, Teaneck, NJ
IN Ashton Wallace T; Chang Linda L; Greenlee William J
PAF Merck & Co, Inc, Rahway, NJ
PA Merck & Co Inc (54136)
EXNAM Gerstl, Robert
AG Camara, Valerie J
Daniel, Mark R
PI US 5538991 960723
AI US 94-306275 940914
FI US 5538991 960723
DT UTILITY; REASSIGNED
FS CHEMICAL
MRN 7957 MFN: 0913
CLMN 22
AB Phenoxyphenylacetic acids and derivatives of the general structural formula I

D R A W I N G

have endothelin antagonist activity and are useful in treating cardiovascular disorders, such as hypertension, postischemic renal failure, vasospasm, cerebral and cardiac ischemia, myocardial infarction, endotoxic shock, benign prostatic hyperplasia,

inflammatory diseases including Raynaud's disease and asthma.

L18 ANSWER 5 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 2740375 IFIPAT;IFIUDB;IFICDB
TI S-NITROSO DERIVATIVES OF HYDRAZINOACETIC ACIDS, 1-((ACYLTHIO AND (MERCAPTO)-1-OXOALKYL)-1,2,34-TETRAHYDROQUINOLINE-2-CARBOXYLIC ACIDS AND ALANYL PROLINES AND ISOQUINOLINES; VASODILATORS
INF Cooke, John, Needham Heights, MA
Loscalzo, Joseph, Dedham, MA
IN Cooke John; Loscalzo Joseph
PAF Brigham & Women's Hospital, Boston, MA
PA Brigham and Women's Hospital (8822)
EXNAM Higel, Floyd D
AG Herron, Charles J
Olstein, Elliot M
PI US 5536723 960716
AI US 94-319414 941006
RLI US 88-206763 880615 CONTINUATION-IN-PART 5002964
US 89-328397 890324 DIVISION 5025001
US 91-715588 910614 DIVISION 5187183
US 93-13404 930204 DIVISION 5356890
FI US 5536723 960716
US 5002964
US 5025001
US 5187183
US 5356890
DT UTILITY
FS CHEMICAL
CLMN 36
GI 6 Drawing Sheet; 11 Figures;
AB The invention relates to novel S-nitroso derivatives of ACE inhibitors and to pharmaceutical compositions comprising the Snitrosothiol derivatives of the invention together with a pharmaceutically acceptable carrier. The invention also relates to methods for treating various pathophysiological conditions including acute myocardial infarction, left ventricular dysfunction without overt heart failure, **hypertension**, pulmonary **hypertension**, congestive heart failure, angina pectoris, vascular thrombosis, **Raynauds** syndrome, scleroderma, toxemia of pregnancy, acute renal failure, diabetic nephropathy, and renal artery stenosis, and to methods of inhibiting ACE and effecting vasodilation comprising administering the S-nitrosothiol derivatives of the ACE inhibitors of the invention to an animal.

L18 ANSWER 6 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 2715969 IFIPAT;IFIUDB;IFICDB
TI PHENYL SULFONAMIDE ENDOTHELIN ANTAGONISTS; ISOXAZOLE MOIETY ATTACHED TO N; USED TO TREAT HYPERTENSION, CELL DISORDERS AND GROWTH, ENDOTOXEMIA AND ISCHEMIA
INF Hunt, John T, Princeton, NJ
Murugesan, Natesan, Lawrenceville, NJ
Stein, Philip D, Princeton, NJ
IN Hunt John T; Murugesan Natesan; Stein Philip D
PAF Bristol-Myers Squibb Co, Princeton, NJ
PA Bristol-Myers Squibb Co (22921)
EXNAM McKane, Joseph K
AG Babajko, Suzanne E
PI US 5514696 960507 (CITED IN 001 LATER PATENTS)
AI US 93-146262 931029
RLI US 92-879000 920506 CONTINUATION-IN-PART ABANDONED
US 93-21410 930223 CONTINUATION-IN-PART ABANDONED
US 93-41583 930413 CONTINUATION-IN-PART ABANDONED
FI US 5514696 960507

DT UTILITY
FS CHEMICAL
OS CA 125:114639
MRN 6770 MFN: 0977
CLMN 22
AB Compounds of the formula

D R A W I N G

inhibit the activity of endothelin. The symbols are defined as follows: R1, R2 and R3 are each independently (a) hydrogen, except that R1 is other than hydrogen; (b) alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aryloxy, aralkyl or aralkoxy, any of which may be substituted with Z1, Z2 and Z3; (c) halo; (d) hydroxyl; (e) cyano; (f) nitro; (g) -C(O)H or -C(O)R6; (h) -CO2H or -CO2R6; (i) -SH, -S(O)nR6, -S(O)m-OH, -S(O)m-OR6, -O-S(O)m-R6, -OS(O)mOH or -O-S(O)m-OR6; (j) -Z4-NR7R8; or (k) -Z4-N(R11-Z5-NR9R10; and the remaining symbols are as defined in the specification.

L18 ANSWER 7 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 2589324 IFIPAT;IFIUDB;IFICDB
TI QUINAZOLINONES SUBSTITUTED WITH PHENOXYPHENYLACETIC ACID DERIVATIVES; CARDIOVASCULAR DISORDERS OR HYPOTENSIVE AGENTS
INF Bagley, Scott W, Rahway, NJ
Chakravarty, Prasun K, Edison, NJ
Chen, Anna, Rahway, NJ
Dhanoa, Daljit S, Tinton Falls, NJ
Fitch, Kenneth J, Cranford, NJ
Greenlee, William J, Teaneck, NJ
Naylor, Elizabeth M, Scotch Plains, NJ
Tata, James R, Westfield, NJ
Walsh, Thomas F, Westfield, NJ
Williams, Jr, David L, Telford, PA
IN Bagley Scott W; Chakravarty Prasun K; Chen Anna; Dhanoa Daljit S; Fitch Kenneth J; Greenlee William J; Naylor Elizabeth M; Tata James R; Walsh Thomas F; Williams David L Jr
PAF Merck & Co, Inc, Rahway, NJ
PA Merck & Co Inc (54136)
EXNAM Ford, John M
AG Camara, Valerie J
Daniel, Mark R
DiPrima, Joseph F
PI US 5401745 950328 (CITED IN 001 LATER PATENTS)
AI US 93-33595 930319
FI US 5401745 950328
DT UTILITY
FS CHEMICAL
MRN 7238 MFN: 0283
CLMN 10
AB Phenoxyphenylacetic acids and derivatives of general structural formula I

D R A W I N G

have endothelin antagonist activity and are therefore useful in treating cardiovascular disorders, such as **hypertension**, postischemic renal failure, vasospasm, cerebal and cardiac ischemia, myocardial infarction, inflammatory diseases, **Raynaud**'s disease, and endotoxic shock, and asthma.

L18 ANSWER 8 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 2451969 IFIPAT;IFIUDB;IFICDB

TI SULFONAMIDES
INF Burri, Kaspar, Binningen, CH
Clozel, Martine, St Louis, FR
Fischli, Walter, Allschwil, CH
Hirth, Georges, Huningue, FR
Loffler, Bernd-Michael, Oberrimsingen, DE
Neidhart, Werner, Bartenheim, FR
Ramuz, Henri, Birsfelden, CH
IN Burri Kaspar (CH); Clozel Martine (FR); Fischli Walter (CH); Hirth Georges (FR); Loffler Bernd-Michael (DE); Neidhart Werner (FR); Ramuz Henri (CH)
PAF Hoffmann-La Roche Inc, Nutley, NJ
PA Hoffmann-La Roche Inc (39424)
EXNAM Ford, John M
AG Coletti, Ellen Ciambrone
Gould, George M
Johnston, George W
PI US 5292740 940308 (CITED IN 007 LATER PATENTS)
AI US 92-896015 920609
PRAI CH 91-1760 911760 910613
CH 92-1516 921516 920512
FI US 5292740 940308
DT UTILITY
FS CHEMICAL
MRN 6255 MFN: 0768
6319 0716
6676 0159
6676 0163
CLMN 33
AB The novel sulfonamides of formula I,

D R A W I N G

in which the symbols R1-R9, Ra, Rb, X, Y and n have the significance given in the description and salts thereof can be used for the treatment of circulatory disorders, especially hypertension, ischemia, vasopasms and angina pectoris.

L18 ANSWER 9 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 2426627 IFIPAT;IFIUDB;IFICDB
TI SULFONAMIDES AND USES; TREATMENT OF CIRCULATORY DISORDERS
INF Burri, Kaspar, Binningen, CH
Clozel, Martine, St Louis, FR
Fischli, Walter, Allschwil, CH
Hirth, Georges, Huningue, FR
Loffler, Bernd M, Oberrimsingen, DE
Ramuz, Henri, Birsfelden, CH
IN Burri Kaspar (CH); Clozel Martine (FR); Fischli Walter (CH); Hirth Georges (FR); Loffler Bernd M (DE); Ramuz Henri (CH)
PAF Hoffmann-La Roche Inc, Nutley, NJ
PA Hoffmann-La Roche Inc (39424)
EXNAM Ford, John M
AG Gould, George M
Johnston, George W
Krovatin, William
PI US 5270313 931214 (CITED IN 010 LATER PATENTS)
AI US 92-869274 920415
PRAI CH 91-1242 911242 910425
CH 92-343 92343 920206
FI US 5270313 931214
DT UTILITY
FS CHEMICAL
MRN 6164 MFN: 0038

6164 0041
CLMN 25
AB Sulfonamides of formula I, in which the symbols R1-R6, X, Y and n have the significance given in the description and which are in part novel compounds, and salts thereof, which can be used as active ingredients for the manufacture of medicaments for the treatment of circulatory disorders, especially **hypertension**, ischemia, vasospasms and angina pectoris, are described.

L18 ANSWER 10 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 2417750 IFIPAT;IFIUDB;IFICDB
TI AMINOPYRIDINE COMPOUNDS; FOR TREATING DISEASES OF THE CIRCULATORY SYSTEM
INF Eda, Masahiro, Osaka, JP
Eiraku, Miyuki, Osaka, JP
Fukaya, Chikara, Osaka, JP
Goda, Maki, Osaka, JP
Hihara, Mitsuyoshi, Osaka, JP
Matzno, Sumio, Osaka, JP
Nakamura, Norifumi, Osaka, JP
Okada, Takehiro, Osaka, JP
Sakashita, Hiroshi, Osaka, JP
Sugiura, Masanori, Osaka, JP
Takemoto, Tadahiro, Osaka, JP
Uchida, Yasumi, Chiba, JP
IN Eda Masahiro (JP); Eiraku Miyuki (JP); Fukaya Chikara (JP); Goda Maki (JP); Hihara Mitsuyoshi (JP); Matzno Sumio (JP); Nakamura Norifumi (JP); Okada Takehiro (JP); Sakashita Hiroshi (JP); Sugiura Masanori (JP); Takemoto Tadahiro (JP); Uchida Yasumi (JP)
PAF The Green Cross Corporation, Osaka, JP
PA Green Cross Corp The JP (35916)
EXNAM Tsang, Cecilia
AG Sughrue, Mion, Zinn, Macpeak & Seas
PI US 5262415 931116
AI US 92-850817 920313
PRAI JP 91-76777 9176777 910315
FI US 5262415 931116
DT UTILITY
FS CHEMICAL
MRN 6084 MFN: 0507
6084 0509
CLMN 20
AB An aminopyridine compound represented by the formula:

D R A W I N G

wherein n represents 0 or 1; Z represents =S, =O, =NCN or =CHNO2; R1 represents -CN, -NR3R4, -CONR3R4, -NHNR3R4, -NHCONHR3, -NHSO2R3 or -SR3; R2 represents H, or substituted or unsubstituted alkyl; R3 and R4, which may be the same or different, represent H, substituted or unsubstituted alkyl, aryl, substituted or unsubstituted acyl or alkoxycarbonyl group; and R3 and R4 may form a heterocyclic ring together with a nitrogen atom to which R3 and R4 are bound, through another heteroatom or without it; or an acid salt thereof, which is excellent in pharmacological effect and repressed in side effects as a drug for circulatory diseases.

L18 ANSWER 11 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 2374027 IFIPAT;IFIUDB;IFICDB
TI XANTHINE COMPOUNDS AND COMPOSITIONS, AND METHODS OF USING THEM; PHOSPHODIESTERASE INHIBITORS, ANTIINFLAMMATORY AGENTS, ANTIALLERGENS
INF Gristwood, Robert W, Barcelona, ES

Mauri, Jacinto M, Barcelona, ES
Noverola, Armando V, Barcelona, ES
Soto, Jose M P, Barcelona, ES
IN Gristwood Robert W (ES); Mauri Jacinto M (ES); Noverola Armando V (ES); Soto Jose M P (ES)
PAF Laboratorios Almirall SA, Barcelona, ES
PA Laboratorios Almirall S A ES (31177)
EXNAM Rizzo, Nicholas S
AG Spencer, Frank & Schneider
PI US 5223504 930629 (CITED IN 001 LATER PATENTS)
WO 9109859 910711
AI US 91-743388 910816
WO 90-GB2027 901227
910816 PCT 371 date
910816 PCT 102(e) date
PRAI GB 8929208 891227
FI US 5223504 930629
DT UTILITY
FS CHEMICAL
MRN 5912 MFN: 0414
CLMN 9
AB PCT No. PCT/GB90/02027 Sec. 371 Date Aug. 16, 1991 Sec. 102(e) Date Aug. 16, 1991 PCT Filed Dec. 27, 1990 PCT Pub. No. WO91/09859 PCT Pub. Date Jul. 11, 1991. Xanthines of the general formula:

D R A W I N G

wherein R1 represents a straight or branched chain alkyl, alkenyl or alkynyl group of 3-6 carbon atoms, and R2 and R3, which may be the same or different, each represent hydrogen or halogen or a methyl, methoxy, nitro or trifluoromethyl group or R2 and R3 together form a methylenedioxy or ethylenedioxy group; with the proviso that R2 and R3 are not both hydrogen; and pharmacologically acceptable salts thereof with an alkali metal base or a nitrogen base containing organic base, are bronchodilators making them of value in treating asthma and vasodilators making them of interest in treating angina, **hypertension**, congestive heart failure and multi-infarct dementia. The compounds are also of use in combatting other conditions where inhibition of PDE type IV is thought to be beneficial. The compounds can be prepared by treating and corresponding 6-amino uracil with sodium nitrite and formic acid in an excess of formamide and adding sodium dithionate to reduce the resulting 6-amino-5-nitroso compound to give the 5,6-diamino compound that ring closes with the excess of formamide.

L18 ANSWER 12 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 2109730 IFIPAT;IFIUDB;IFICDB
TI PHARMACEUTICAL FORMULATIONS FOR PARENTERAL USE; DECREASING PRECIPITATION AT INJECTION SITE OR IN LUNGS OR OTHER ORGANS BY COMBINING WITH HYDROXYPROPYL-BETA-CYCLODEXTRIN
INF Bodor, Nicholas S, Gainesville, FL
IN Bodor Nicholas S
PAF University of Florida, Gainesville, FL
PA Florida, University of (31139)
EXNAM Griffin, Ronald W
AG Baumeister, Mary Katherine
Clarke, Dennis P
PI US 4983586 910108 (CITED IN 018 LATER PATENTS)
AI US 88-174945 880329
RLI US 87-139755 871230 CONTINUATION-IN-PART
FI US 4983586 910108
DT UTILITY
FS CHEMICAL

MRN 5016 MFN: 0341
CLMN 41
GI 2 Drawing Sheet; 3 Figures;
AB Aqueous parenteral solutions of drugs which are insoluble or only sparingly soluble in water and/or which are unstable in water, combined with hydroxypropyl- Beta -cyclodextrin, provide a means for alleviating problems associated with drug precipitation at the injection site and/or in the lungs or other organs following parenteral administration.

L18 ANSWER 13 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 2039662 IFIPAT;IFIUDB;IFICDB
TI N-SUBSTITUTED 3,4-DIHYDROPYRIMIDINE COMPOUNDS AS AGENTS FOR TREATING DISORDERS OF CARDIOVASCULAR SYSTEM; HYPOTENSIVE AND CARDIOTONIC AGENTS; VASODILATION
INF Cho, Hidetsura, Osaka, JP
Ueda, Masaru, Saitama, JP
IN Cho Hidetsura (JP); Ueda Masaru (JP)
PAF Suntory Limited, Osaka, JP
PA Suntory Ltd JP (81755)
EXNAM Ford, John M
EXNAM Whittenbaugh, Robert C
AG Cushman, Darby & Cushman
PI US 4920124 900424
AI US 88-157777 880219
PRAI JP 87-38345 8738345 870221
FI US 4920124 900424
DT UTILITY
FS CHEMICAL
MRN 4858 MFN: 0206
CLMN 5
GI 1 Drawing Sheet; 1 Figures;
AB N-substituted 3,4-dihydropyrimidine compounds of the formula:

D R A W I N G

wherein R is straight, branched, cyclic or cyclo-straight alkyl having from one to four carbon atoms; and X1, X2 and X3 are the same or different and are hydrogen, halogen, lower alkyl having from one to four carbon atoms, lower alkoxy having from one to four carbon atoms, nitro, trifluoromethyl, hydroxy, or tbutyldimethylsilyloxy with the proviso that the case wherein X1, X2 and X3 are all hydrogen is not applicable have substantially strong and lasting vasodilative effects. Therefore, the compounds are useful as agents for treating disorders of the cardiovascular system, for example, antihypertensive agents, circulation improver and antianginal agents.

L18 ANSWER 14 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 2013482 IFIPAT;IFIUDB;IFICDB
TI PHARMACEUTICALLY USEFUL DIHYDROPYRIDINYLDICARBOXYLATE AMIDES AND ESTERS INCORPORATING ARYLPIPERAZINYLALKYL MOIETIES; CARDIOVASCULAR DISORDERS
INF Poindexter, Graham S, Evansville, IN
Temple, Jr, Davis L, Evansville, IN
IN Poindexter Graham S; Temple Davis L Jr
PAF Bristol-Myers Company, New York, NY
PA Bristol-Myers Co (11376)
EXNAM Hollrah, Glennon H
EXNAM Turnipseed, James H
AG Ryan, Richard P
Uloth, Robert H
PI US 4895846 900123 (CITED IN 004 LATER PATENTS)

AI US 87-134715 871218
RLI US 84-599097 840411 CONTINUATION-IN-PART ABANDONED
US 85-693426 850122 DIVISION 4755512
FI US 4895846 900123
US 4755512
DT UTILITY
FS CHEMICAL
CLMN 19
AB A series of 1,4-dihydropyridin-3,5-yl dicarboxylic acid amides and esters incorporating an arylpiperazinylalkyl moiety have been prepared possessing the general formula

D R A W I N G

wherein R4 is cycloalkyl, aryl or hetaryl, generally with electron-withdrawing substituents; R2 and R6 are lower alkyl, alkanol, alkoxyalkyl, or alkylaminoalkyl; R5 is R2 or arylpiperazinylalkyl; X is O or NH; Y is lower alkylene, alkoxyalkylene, alkylaminoalkylene; and Z is phenyl, substituted pheny, pyridinyl, substituted pyridinyl, or pyrimidinyl. Compounds of this series demonstrate activity as calcium and alpha-adrenergic blockers in in vitro testing and antihypertensive, anti-ischemic, and platelet function inhibiting actions in in vivo screens.

L18 ANSWER 15 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 1865059 IFIPAT;IFIUDB;IFICDB
TI 1,4-DIHYDROPYRIDINE DERIVATIVES, AND PHARMACEUTICAL COMPOSITIONS CONTAINING SAME, USEFUL FOR TREATING CARDIOVASCULAR DISEASES
INF Hagihara, Koichiro, Itami, JP
Koyama, Hiroyasu, Ageo, JP
Suzuki, Yoshikuni, Ohmiya, JP
IN HAGIHARA KOICHIRO (JP); KOYAMA HIROYASU (JP); SUZUKI YOSHIKUNI (JP)
PAF Nisshin Flour Milling Co, Ltd, Tokyo, JP
PA NISSHIN FLOUR MILLING CO LTD JP (60029)
EXNAM Rotman, Alan L
AG Cushman, Darby & Cushman
PI US 4757071 880712 (CITED IN 001 LATER PATENTS)
AI US 85-806454 851209
PRAI JP 84-262942 84262942 841214
JP 85-233349 85233349 851021
JP 85-233350 85233350 851021
JP 85-269302 85269302 851202
FI US 4757071 880712
DT UTILITY
FS CHEMICAL
MRN 4513 MFN: 0643
CLMN 13
AB 2,6-Dimethyl-4-(2- or 3-substituted phenyl)-1,4-dihydropyridine3,5-dicarboxylic acid diesters, having vasodilating and blood pressure lowering effects, in the ester moiety at the 3-position of which a heterocyclic group is linked to an alkylene group through an ester bond (carbonyloxy group). The diesters are used for treatment of cardiac diseases, cerebrovascular diseases and **hypertension**
.

L18 ANSWER 16 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 1863381 IFIPAT;IFIUDB;IFICDB
TI PHARMACEUTICALLY USEFUL DIHYDROPYRIDINYLDICARBOXYLATE AMIDES AND ESTERS INCORPORATING ARYLPIPERAZINYLALKYL MOITIES
INF Poindexter, Graham, Evansville, IN
Temple, Jr, Davis L, Evansville, IN
IN POINDEXTER GRAHAM; TEMPLE DAVIS L JR
PAF Bristol-Myers Company, New York, NY

PA BRISTOL-MYERS CO (11376)
EXNAM Hollrah, Glennon H
EXNAM Turnipseed, James H
AG Uloth, Robert H
PI US 4755512 880705 (CITED IN 002 LATER PATENTS)
AI US 85-693426 850122
RLI US 84-599097 840411 CONTINUATION-IN-PART ABANDONED
FI US 4755512 880705
DT UTILITY; EXPIRED
FS CHEMICAL
MRN 4368 MFN: 0834
CLMN 9
AB A series of 1,4-dihydropyridin-3,5-yl dicarboxylic acid amides and esters incorporating an arylpiperazinylalkyl moiety have been prepared possessing the general formula

2-R2,3-((4-Z-PIPERAZIN-1-YL)-Y-X-CO-),4-R4,5-(R5-OOC-), 6-R6-1,4-DIHYDROPYRIDINE XXI'

wherein R4 is cycloalkyl, aryl or hetaryl, generally with electronwithdrawing substituents; R2 and R6 are lower alkyl, alkanol, alkoxyalkyl, or alkylaminoalkyl; R5 is R2 or arylpiperazinylalkyl; X is 0 or NH; Y is lower alkylene, alkoxyalkylene, alkylaminoalkylene; and Z is phenyl, substituted phenyl, pyridinyl, substituted pyridinyl, or pyrimidinyl. Compounds of this series demonstrate activity as calcium and alphaadrenergic blockers in in vitro testing and antihypertensive, antiischemic, and platelet function inhibiting actions in in vivo screens.

L18 ANSWER 17 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 1619809 IFIPAT;IFIUDB;IFICDB
TI DIHYDROPYRIDINE DERIVATIVES AND THEIR USE IN TREATING HEART CONDITIONS AND **HYPERTENSION**; VASODILATORS
INF Campbell, Simon F, Deal, GB
Cross, Peter E, Canterbury, GB
Stubbs, John K, Deal, GB
IN CAMPBELL SIMON F (GB); CROSS PETER E (GB); STUBBS JOHN K (GB)
PAF Pfizer Inc, New York, NY
PA PFIZER INC (65376)
EXNAM Raymond, Richard L
EXNAM Turnipseed, James H
AG Frost, Albert E
Knuth, Charles J
McManus, James M
PI US 4539322 850903 (CITED IN 003 LATER PATENTS)
AI US 83-528507 830901
RLI US 83-463092 830202 CONTINUATION-IN-PART ABANDONED
PRAI GB 8225246 820904
EP 83-304954 83304954.7 830826
FI US 4539322 850903
DT UTILITY
FS CHEMICAL
MRN 4177 MFN: 0643
CLMN 20
AB 1,4-Dihydropyridine derivatives of the formula:

2-(CH3-),3-(R1-OOC-),4-R,5-(R2-OOC-),6-((4-R3-PIPERAZIN-1-YL)-Y-O-CH2-)-1,4-DIHYDROPYRIDINE

and their pharmaceutically acceptable acid addition salts; where R is aryl or heteroaryl; R1 and R2 are each independently C1-C4 alkyl or 2-methoxyethyl; Y is -(CH2)2-, -(CH2)3-, -CH2CH(CH3)- or -CH2C(CH3)2-; R3 is hydrogen or an organic substituent are useful

in treating or preventing heart conditions or **hypertension**
.

L18 ANSWER 18 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 1038790 IFIPAT;IFIUDB;IFICDB
TI BICYCLIC DERIVATIVES OF 1,4-DIHYDROPYRIDINE 3,5-DICARBOXYLIC ACID ESTERS; HYPOTENSIVE, CORONARY DILATORS
INF Bossert, Friedrich, Wuppertal-Elberfeld, DE
Meyer, Horst, Wuppertal, DE
Stoepel, Kurt, Wuppertal-Vohwinkel, DE
Vater, Wulf, Opladen, DE
IN BOSSERT FRIEDRICH; MEYER HORST; STOEPEL KURT; VATER WULF
PAF Bayer Aktiengesellschaft, DE
PA BAYER AG DE (29448)
EXNAM Waddell, Frederick E
PI US 3988458 761026 (CITED IN 001 LATER PATENTS)
AI US 74-532458 741213
RLI US 73-336483 730228 DIVISION 3855231
US 74-454996 740327 DIVISION 3950336
PRAI DE 72-2210633 720306
FI US 3988458 761026
US 3855231
US 3950336
DE 2210633
FR 2181794
GB 1384504
DT UTILITY
FS CHEMICAL
OS CA 87:5816
CLMN 9
AB 2,6-Diamino-1,4-dihydropyridines bearing carbonyl functions in the 3- and 5-positions and being substituted in the 4-position by lower alkyl, phenyl, substituted phenyl or a heterocyclic group are antihypertensive agents and coronary vessel dilators. The compounds, of which 2,6-diamino-4-(3-nitrophenyl)-1,4dihydropyridine-3,5-dicarboxylic acid 3,5-diethyl ester is a representative embodiment, are prepared through condensation of an amidine with either an aldehyde or an ylidenecyanoacetoacetic acid ester.

L18 ANSWER 19 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 1024507 IFIPAT;IFIUDB;IFICDB
TI 1,4-DIHYDROPYRIDINE CARBOXYLIC ACID ESTERS USEFUL AS CORONARY VESSEL DILATORS AND ANTI-HYPERTENSIVES
INF Bossert, Friedrich, Wuppertal, DE
Meyer, Horst, Wuppertal, DE
Vater, Wulf, Opladen, DE
IN BOSSERT FRIEDRICH; MEYER HORST; VATER WULF
PAF Bayer Aktiengesellschaft, DE
PA BAYER AG DE (29448)
EXNAM Schenkman, Leonard
PI US 3974275 760810 (CITED IN 006 LATER PATENTS)
AI US 75-548395 750210
RLI US 73-350381 730412 DIVISION 3905970
PRAI DE 72-2218644 720418
FI US 3974275 760810
US 3905970
DE 2218644
FR 2182983
GB 1383625
DT UTILITY
FS CHEMICAL
OS CA 80:14958

CLMN 50
AB Certain 1,4-dihydropyridine carboxylic acid esters or their pharmaceutically acceptable non toxic salts are useful as coronary vessel dilators and antihypertensives.

L18 ANSWER 20 OF 20 IFICDB COPYRIGHT 1998 IFI
AN 0960860 IFIPAT;IFIUDB;IFICDB
TI PHARMACEUTICAL COMPOSITION UTILIZING 2-AMINO-1,4-DIHYDROPYRIDINE DERIVATIVES AND METHOD OF EFFECTING CORONARY VESSEL DILATION AND TREATING **HYPERTENSION** IN HUMANS AND ANIMALS
INF Bossert, Friedrich, Wuppertal-Elberfeld, DE
Meyer, Horst, Wuppertal-Elberfeld, DE
Stoepel, Kurt, Wuppertal-Elberfeld, DE
Vater, Wulf, Opladen, DE
IN BOSSERT FRIEDRICH; MEYER HORST; STOEPEL KURT; VATER WULF
PAF Bayer Aktiengesellschaft, DE
PA BAYER AG DE (29448)
EXNAM Meyers, Albert T
EXNAM Stephens, Daren M
PI US 3911123 751007 (CITED IN 001 LATER PATENTS)
AI US 74-439305 740204
RLI US 73-336639 730228 DIVISION
PRAI DE 73-2210674 730414
FI US 3911123 751007
DE 2210674
FR 2183675
GB 1369401
DT UTILITY
FS CHEMICAL
OS CA 80:3397
CLMN 176
AB 2-Amino-1,4-dihydropyridines bearing a carbonyl function in the 5-position and being optionally substituted by lower alkyl or phenyl in the 6-position, and the corresponding 2-amino1,4,5,6,7,8-hexahydro-5-oxoquinolines, which derivatives are further substituted by a carbonyl group in the 3-position and optionally substituted in the 4-position by lower alkyl, phenyl, substituted phenyl or a heterocylic group are antihypertensive agents and coronary vessel dilators. The compounds, of which 2amino-6-methyl-4-(3-nitrophenyl)-1,4-dihydropyridine-3,5dicarboxylic acid 3,5-diethyl ester is a representative embodiment, are prepared through condensation of an ylideneacetoacetic acid ester and an amidine.

=> d his

(FILE 'HOME' ENTERED AT 13:47:52 ON 06 JAN 1998)

FILE 'IFICDB' ENTERED AT 13:48:06 ON 06 JAN 1998
L1 9026 S ((34553 OR 34615)(L)(33696 OR 33697 OR 33698 OR 33700 O
L2 6196 S L1(NOTL)(34211 OR 33918 OR 34128 OR 33962OR 33079 OR 30
L3 4230 S (02797)/UN OR HYPERTENSION?
L4 417 S MYOCARDIAL INFARCT OR 03568/UN
L5 55 S RAYNAUDS? OR RAYNAUD?
L6 680 S 00441 OR ATHEROSCLEROSIS
L7 0 S 08423
L8 381 S 08423/UN
L9 1408 S 00441/UN OR L6
L10 2938 S 05859/UN OR 05860/UN
L11 8483 S 514241000-514276000/NCLR
L12 104 S L2 AND L10
L13 38 S L11 AND L12

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L14        5913 S L3 OR L4 OR L5 OR L9
L15          13 S L14 AND L13
L16           4 S L12 AND L8
L17           7 S L2 AND L8
L18          20 S L15 OR L16 OR L17
L19          44 S L13 OR L18
L20          24 S L19 NOT L18

=> d l20 1- bib,ab

YOU HAVE REQUESTED DATA FROM 24 ANSWERS - CONTINUE? Y/(N):y


L20  ANSWER 1 OF 24  IFICDB  COPYRIGHT 1998 IFI
AN    2350613  IFIPAT;IFIUDB;IFICDB
TI    2-THIO OR OXO-4-ARYL OR HETEROCYCLO-1,5(2H)-PYRIMIDINEDICARBOXYLIC
      ACID DIESTERS AND 3-ACYL-5-PYRIMIDINECARBOXYLIC ACIDS AND ESTERS;
      HYPOTENSIVE AND CARDIOVASCULAR AGENT, REDUCES BLOOD PRESSURE IN
      MAMMALS
INF   Atwal, Karnail, Cranbury
      Kimball, Spencer D, East Windsor, NJ
      Rovnyak, George C, Hopewell
IN    Atwal Karnail; Kimball Spencer D; Rovnyak George C
PAF   E R Squibb & Sons, Inc, Princeton, NJ
PA    Squibb, E R & Sons Inc (79248)
EXNAM Richter, Johann
AG    Davis, Stephen B
PI    US  5202330  930413
AI    US  87-618  870105
RLI   US  85-740800  850603  CONTINUATION-IN-PART  ABANDONED
      US  86-864687  860519  CONTINUATION-IN-PART  ABANDONED
FI    US  5202330  930413
DT    UTILITY
FS    CHEMICAL
CLMN  30
AB    Pyrimidine compounds of the formula

                              D R A W I N G

       wherein X is sulfur or oxygen, Y is R11 or -O-R1, and R4 is aryl
      or heterocyclo are disclosed. These compounds are useful as
      cardiovascular agents, particularly anti-hypertensive agents, due
      to their calcium entry blocking vasodilator activity.

L20  ANSWER 2 OF 24  IFICDB  COPYRIGHT 1998 IFI
AN    2157143  IFIPAT;IFIUDB;IFICDB
TI    4-AMINO QUINOLINES AND NAPHTHYRIDINES AND THEIR USE AS MEDICINES;
      PREVENTION AND TREATMENT OF CARDIOVASCULAR DISORDERS AND INFECTIONS
      STATES; ANXIOLYTIC AND ANTI-ALLERGIC AGENTS
INF   Bachy, Andre , Toulouse, FR
      Keane, Peter E, Garonne, FR
      Mendes, Etienne, Toulouse, FR
      Vernieres, Jean-Claude, Muret, FR
IN    Bachy Andre (FR); Keane Peter E (FR); Mendes Etienne (FR);
      Vernieres Jean-Claude (FR)
PAF   Sanofi, Paris, FR
PA    Sanofi FR (7606)
EXNAM Dentz, Bernard I
AG    Wegner, Cantor, Mueller & Player
PI    US  5026711  910625  (CITED IN 001 LATER PATENTS)
AI    US  89-362105  890606
PRAI  FR  88-8807498  88 07498  880606
      FR  88-8808075  88 08075  880615
```

FI US 5026711 910625
DT UTILITY; REASSIGNED
FS CHEMICAL
MRN 5150 MFN: 0200
CLMN 20
AB Compounds represented by the general formula:

D R A W I N G

in which R1 and R2 are selected from hydrogen, C1-C6 alkyl or C2-C6 alkenyl , phenyl or benzyl or R1 and R2 form together with the nitrogen atom to which they are attached a C4-C8 saturated heterocycle, R3 is selected from hydrogen, C1-C6 alkyl, phenyl or C7-C9 phenyl-alkyl, R4 is selected from hydrogen or C1-C4 alkyl, R5 and R6 are selected from hydrogen or halogen, C1-C3 or alkoxy, nitro or trifluoromethyl; Z is selected from OH, C1-C6 alkoxy, C1-C4 alkyl, benzyl, C4-C6 aryl with or without a heteroatom, or NR8R9, R8 and R9 being selected from hydrogen, C1-C4 alkyl, phenyl or benzyl; R10 is selected from hydrogen, C1-C4 alkyl or phenyl; n is 0, 1 or 3, p is 0 or 1 and one of the symbols A, B, C, D represents N and the others CH or A, B, C, D all represent CH and their acid addition salts, and their salts with bases. The compounds are useful in the prevention and treatment of cardiovascular diseases, as anti-allergic drugs, in the prevention and treatment of infectious states, and for the treatment of anxiety.

L20 ANSWER 3 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 2106105 IFIPAT;IFIUDB;IFICDB
TI 1-(AMINOPHENYL)-2-AMINOPROPANONE DERIVATIVES; ANTIDEPRESSANTS, VASODILATION, IMMUNOSTIMULANTS
INF Lafon, Louis, Paris, FR
IN Lafon Louis (FR)
PAF Laboratoire L Lafon, Masion Alfort, FR
PA Laboratoire l'Lafon S A FR (47787)
EXNAM Hollrah, Glennon H
EXNAM Rand, Scott C
AG Wegner, Cantor, Mueller & Player
PI US 4980377 901225 (CITED IN 004 LATER PATENTS)
AI US 88-270627 881114
RLI US 85-765218 850813 CONTINUATION-IN-PART ABANDONED
PRAI FR 84-8412962 85401624.3 840820
EP 85-401624 85401624.3 850809
FI US 4980377 901225
DT UTILITY
FS CHEMICAL
OS CA 115:49099
MRN 4972 MFN: 0721
CLMN 7
AB The present invention relates to the preparation of new 1(aminophenyl)-2-aminopropanone derivatives of the general formula:

D R A W I N G

in which X is NH2, Y is H or a halogen atom, Z is H or a halogen atom, R1 is C1-C4 alkyl or C3-C6 cycloalkyl and R2 is H or C1-C4 alkyl, or R1 and R2, taken together, can form, with the nitrogen atom to which they are bonded, a heterocyclic group selected from the group consisting of the pyrrolidino, morpholino, thiomorpholino, piperidino, hexamethyleneimino, piperazino, 4methyl-piperazino, 4-(Beta -hydroxyethyl)piperzaino, 4phenylpiperazino and 4-(p-chlorophenyl)piperazino groups, and addition salts thereof. These new derivatives are useful as

pharmaceuticals. They are obtained by deacetylation of the corresponding acetylated products.

L20 ANSWER 4 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 2087299 IFIPAT;IFIUDB;IFICDB
TI ((((3-PYRIDINYL)METHYLEN)AMINO)OXY)ALKANOIC ACIDS AND ESTERS; INHIBIT BIOSYNTHESIS OF THROMBOXANE A2
INF Freyne, Eddy J E, Rumst, BE
Raeymaekers, Alfons H M, Beerse, BE
Sipido, Victor, Merksem, BE
Venet, Marc G, Paris, FR
IN Freyne Eddy J E (BE); Raeymaekers Alfons H M (BE); Sipido Victor (BE); Venet Marc G (FR)
PAF Janssen Pharmaceutica NV, Beerse, BE
PA Janssen Pharmaceutica N V BE (43736)
EXNAM Fan, Jane T
AG Metz, Charles J
PI US 4963573 901016
AI US 89-356592 890523
RLI US 86-888670 860723 CONTINUATION ABANDONED 4746671
US 88-156513 880216 CONTINUATION ABANDONED 4746671
US 85-794999 851104 CONTINUATION-IN-PART ABANDONED
FI US 4963573 901016
US 4746671
US 4746671
DT UTILITY
FS CHEMICAL
CLMN 36
AB Novel ((((3-pyridinyl)methylen)amino)oxy)alkanoic acids and esters, compositions containing the same, and methods of treating clinical conditions related with the production of thromboxane A2, prostacyclin and/or prostaglandins D2, E2 and F2 Alpha .

L20 ANSWER 5 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 2085644 IFIPAT;IFIUDB;IFICDB
TI PYRIDAZINONE DERIVATIVES; CARDIAC STIMULANTS
INF Coates, William J, Welwyn Garden City, GB
Emmett, John C, Welwyn, GB
IN Coates William J (GB); Emmett John C (GB)
PAF Smith Kline & French Laboratories Limited, Welwyn Garden City, GB
PA Smith Kline & French Laboratories Ltd GB (356)
EXNAM Rizzo, Nicholas S
AG King, William T
Lentz, Edward T
Suter, Stuart R
PI US 4962110 901009 (CITED IN 001 LATER PATENTS)
AI US 89-392687 890810
RLI US 86-837975 860310 CONTINUATION ABANDONED
FI US 4962110 901009
DT UTILITY; EXPIRED
FS CHEMICAL
OS CA 114:185531
CLMN 21
AB The invention relates to 2-aminopyrimidinone derivatives that have utility as cardiac stimulants. A compound of the invention is 6-(4-(1,4-dihydro-4-oxo-2-pyrimidinylamino)phenyl)-5-methyl-4,5-dihydro-3(2H)-pyridazinone.

L20 ANSWER 6 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1969800 IFIPAT;IFIUDB;IFICDB
TI 1,2,3,4-TETRAHYDRO-6-SUBSTITUTED-4-ARYL(OR HETEROCYCLO)-3-((SUBSTITUTED AMINO)CARBONYL)-2-THIOXO (OR OXO)-5-PYRIMIDINECARBOXYLIC ACIDS AND ESTERS

INF Atwal, Karnail, Cranbury, NJ
Rovnyak, George C, Hopewell, NJ
IN ATWAL KARNAIL; ROVNYAK GEORGE C
PAF E R Squibb & Sons, Inc, Princeton, NJ
PA SQUIBB, E R & SONS INC (79248)
EXNAM Ford, John M
AG Gaul, Timothy J
PI US 4855301 890808 (CITED IN 005 LATER PATENTS)
AI US 87-8037 870209
RLI US 86-839767 860314 CONTINUATION-IN-PART ABANDONED
US 86-917349 861009 CONTINUATION-IN-PART ABANDONED
FI US 4855301 890808
DT UTILITY
FS CHEMICAL
MRN 5106 MFN: 0266
CLMN 26
AB Cardiovascular activity is exhibited by compounds having the formula

2-(X=),3-(R-N(-R1)-CO-),4-R4,5-(R3-OOC-),6-R2-1,2,3,4-TETRAHYDROPYRIMIDINE

and pharmaceutically acceptable salts thereof wherein X is oxygen or sulfur; R is hydrogen, alkyl, cycloalkyl, aryl, or arylalkyl and R1 is hydrogen, alkyl, cycloalkyl, aryl, heterocyclo,

-C(-R5)(-R6)-(CH2)N-Y2, -C(-R5)(-R6)-(CH2)P-Y3

OR HALO SUBSTITUTED ALKYL, OR R AND R1 TAKEN TOGETHER WITH NITROGEN ATOM TO WHICH THEY ARE ATTACHED ARE 1-PYRROLIDINY PIPERIDINYL, 1-AZEPINYL, 4-MORPHOLINYL, 4-THIAMORPHOLINYL, PIPERAZINYL, 4-ALKYL-1-PIPERAZINYL, 4-ARYLALKYL-1-PIPERAZI 4-DIARYLALKYL-1-PIPERAZINYL OR 1-PYRROLIDINYL, 1-PIPERIDIN OR 1-AZEIPINYL SUBSTITUTED WITH ALKYL, ALKOXY, ALKYLTHIO, TRIFLUOROMETHYL OR HYDROXY;

or halo substituted alkyl, or R and R1 taken together with the nitrogen atom to which they are attached are 1-pyrrolidinyl, 1piperidinyl, 1-azepinyl, 4-morpholinyl, 4-thiamorpholinyl, 1piperazinyl, 4-alkyl-1-piperazinyl, 4-arylalkyl-1-piperazinyl, 4-diarylalkyl-1-piperazinyl or 1-pyrrolidinyl, 1-piperidinyl, or 1-azeipinyl substituted with alkyl, alkoxy, alkylthio, halo, trifluoromethyl or hydroxy; R2 is hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl, aryl,

-C(-R5)(-R6)-(CH2)N-Y1,

OR HALO SUBSTITUTED ALKYL;

or halo substituted alkyl; R3 is hydrogen, alkyl, cycloalkyl, aryl, heterocyclo,

-C(-R5)(-R6)-(CH2)N-Y2, -C(-R5)(-R6)-(CH2)P-Y3,

OR HALO SUBSTITUTED ALKYL;

or halo substituted alkyl; R4 is aryl or heterocyclo; R5 and R6 are each independently hydrogen, alkyl, -(CH2)q-aryl or -(CH2)q-cycloalkyl; Y1 is cycloalkyl, aryl, heterocyclo, hydroxyl, alkoxy, aryl(CH2)m-O-, mercapto, alkylthio, aryl-(CH2)m-S-, amino, substituted amino, carbamoyl,

(SUBSTITUTED AMINO)-CO-, HETEROCYCLO-(CH2)M-CO-,

CARBOXYL, ALKOXYCARBONYL, ALKYL-CO-, ARYL-(CH2)M-CO-, ALKYL-COO- OR ARYL-(CH2)M-COO-

Y3 is hydroxyl, alkoxy, aryl-(CH2)m-O-, mercapto, alkylthio, aryl-(CH2)m-S-,

ALKYL-COO-, ARYL-(CH2)M-COO-,

AMINO, OR SUBSTITUTED AMINO;

amino, or substituted amino; q is 0, 1, 2 or 3; m is 0 or an integer of 1 to 6; n is 0 or an integer of 1 to 5; and p is an integer of 1 to 5.

L20 ANSWER 7 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1937330 IFIPAT;IFIUDB;IFICDB
TI PYRIMIDONES AS CARDIOTONIC, ANTI HYPERTENSIVE, CEREBROVASCULAR VASODILATOR AND ANTI-PLATELET AGENTS
INF Ito, Kiyotaka, Ibaragi, JP
Murata, Masayoshi, Toyono, JP
Takaya, Takao, Kawanishi, JP
IN ITO KIYOTAKA (JP); MURATA MASAYOSHI (JP); TAKAYA TAKAO (JP)
PAF Fujisawa Pharmaceutical Co, Ltd, Osaka, JP
PA FUJISAWA PHARMACEUTICAL CO LTD JP (32600)
EXNAM Daus, Donald G
EXNAM Shen, Cecilia
AG Oblon, Fisher, Spivak, McClelland & Maier
PI US 4824851 890425 (CITED IN 001 LATER PATENTS)
AI US 88-173584 880325
RLI US 84-588902 840312 DIVISION 4612376
US 86-870826 860605 DIVISION 4746664
PRAI GB 838290 830325
GB 8315542 830607
GB 8327859 831018
FI US 4824851 890425
US 4612376
US 4746664
DT UTILITY; EXPIRED
FS CHEMICAL
CLMN 7
AB New pyrimidine derivatives of the formula:

Y=C<(-C(-R4)=C(-R3)---Z---)

wherein Z is a group selected from

-N(-R2)-CO-N(-R1)-, -N=C(-O-R5)-N(-R1)-
AND -N(-R2)-C(-O-R5)=N-,

in which R1 and R2 are each hydrogen, alkenyl, ar(lower)alkyl or lower alkyl optionally substituted with epoxy, hydroxy, amino and/or lower alkylamino and R5 is lower alkyl, R3 is hydrogen, aryl optionally substituted with lower alkyl, lower alkoxy and/or halogen, or pyridyl optionally substituted with lower alkyl, R4 is hydrogen, lower alkyl or phenyl optionally substituted with lower alkoxy, and Y is=O, =S or =N-R6, in which R6 is lower alkyl; cyclo(lower)alkyl; ar(lower)alkyl optionally substituted with lower alkoxy; N-containing unsaturated heterocyclic group optionally substituted with lower alkyl; or aryl optionally substituted with hydroxy, lower alkyl, halogen or lower alkoxy, in which lower alkoxy substituent may be substituted with epoxy, hydroxy, amino and/or lower alkylamino, provided that Y is=N-R6 when R3 and R4 are each hydrogen, and Y is=S or=N-R6 when R1 and R2 are each hydrogen

or lower alkyl and R3 is phenyl, and pharmaceutically acceptable salts thereof, and processes for preparation thereof and pharmaceutical composition comprising the same. These derivatives and salts thereof are useful as cardiotonic, antihypertensive agent, cerebrovascular vasodilator and antiplatelet agent.

L20 ANSWER 8 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1935173 IFIPAT;IFIUDB;IFICDB
TI CIRCULATION-ACTIVE 4-PHENYL-6-SUBSTITUTED DIHYDROPYRIMIDINES
INF Boshagen, Horst, Haan, DE
Schramm, Matthias, Cologne, DE
Stoltefuss, Jurgen, Haan, DE
Thomas, Gunter, Wuppertal, DE
IN BOSHAGEN HORST (DE); SCHRAMM MATTHIAS (DE); STOLTEFUSS JURGEN (DE); THOMAS GUNTER (DE)
PAF Bayer Aktiengesellschaft, Leverkusen, DE
PA BAYER AG DE (29448)
EXNAM Daus, Donald G
EXNAM Shen, Cecilia
AG Sprung Horn Kramer & Woods
PI US 4822798 890418 (CITED IN 001 LATER PATENTS)
AI US 83-526931 830826
PRAI DE 82-3234684 820918
FI US 4822798 890418
DT UTILITY
FS CHEMICAL
MRN 4168 MFN: 0202
CLMN 10
AB Circulatory system-active novel dihydropyrimidines of the formula

2-R6,4-(R1,R2,R3-PHENYL),5-(R4-OOC-),6-R5-1,4-DIHYDRO-PYRIMIDINE

in which R1 and R6 are diverse organic radicals, and pharmacologically acceptable addition salts thereof.

L20 ANSWER 9 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1881718 IFIPAT;IFIUDB;IFICDB
TI N-SUBSTITUTED 3,4-DIHYDROPYRIMIDINE DERIVATIVES AS AGENTS FOR TREATING DISORDERS OF CARDIOVASCULAR SYSTEM; VASODILATION, HYPOTENSIVE
INF Cho, Hidetsura, Osaka, JP
Mizuno, Akira, Kyoto, JP
Shima, Keiyu, Kyoto, JP
IN CHO HIDETSURA (JP); MIZUNO AKIRA (JP); SHIMA KEIYU (JP)
PAF Suntory Limited, Osaka, JP
PA SUNTORY LTD JP (81755)
EXNAM Lee, Mary C
EXNAM Briscoe, Kurt G
AG Cushman, Darby & Cushman
PI US 4772602 880920 (CITED IN 001 LATER PATENTS)
AI US 86-839621 860314
PRAI JP 85-51645 8551645 850315
FI US 4772602 880920
DT UTILITY
FS CHEMICAL
MRN 4528 MFN: 0546
CLMN 2
GI 1 Drawing Sheet; 1 Figures;
AB A N-substituted 3,4-dihydropyrimidine derivative of the formula (1):

2,6-DI(CH3-),3-(R1-OOC-),4-(((NO2-)PHENYL)-),5-(R2-

OOC-),(R2-OOC-)-3,4-DIHYDROPYRIMIDINE I

Wherein R1 is -(CH2)n-X; X is substituted aminoethyl, substituted sulfideethyl, substituted or nonsubstituted heterocyclo-ethyl wherein the ethylene group is directly bonded to a hetero atom in the heterocyclic ring, or substituted or nonsubstituted heterocyclo-methyl wherein the methylene group is directly bonded to a carbon atom in the heterocyclic ring; n is an integer from 0 to 8; R2 is straight, branched cyclic or cyclostraight alkyl having from one to thirteen carbon atoms, or aralkyl having from seven to thirteen carbon atoms and pharmacologically acceptable acid additional salts thereof have substantially strong and long lasting effects. Therefore the compounds are useful as agents for treating disorders of the cardiovascular system, and are useful, for example, as antihypertensive agents, circulation improvers and antianginal agents. A process for producing the above compounds economically and effectively is also disclosed.

L20 ANSWER 10 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1878279 IFIPAT;IFIUDB;IFICDB
TI DIHYDROPYRIMIDINE CARBOXYLIC ACID ESTERS; VASODILATION
INF Atwal, Karnail, Cranbury, NJ
IN ATWAL KARNAIL
PAF E R Squibb & Sons, Inc, Princeton, NJ
PA SQUIBB, E R & SONS INC (79248)
EXNAM Ford, John M
AG Furman, Jr, Theodore R
Levinson, Lawrence S
PI US 4769371 880906 (CITED IN 001 LATER PATENTS)
AI US 87-45956 870501
FI US 4769371 880906
DT UTILITY
FS CHEMICAL
OS CA 110:114853
MRN 4883 MFN: 0557
CLMN 16
AB Pyridine compounds of the formula

1-R1,2-(NH(H)===),4-R2,5-(R3-OOC-),6-R4-1,2,3,6-TETRA-OR 1,6-DIHYDROPYRIDINE

wherein R4 is aryl or heterocyclo are disclosed. These compounds are useful as cardiovascular agents due to their calcium entry blocking vasodilator activity.

L20 ANSWER 11 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1873100 IFIPAT;IFIUDB;IFICDB
TI MIXTURES OF OPTICALLY ACTIVE NITRODIHYDROPYRIDINES ACTIVE ON THE CIRCULATORY SYSTEM
INF Franckowiak, Gerhard, Wuppertal, DE
Gross, Rainer, Wuppertal, DE
Grosser, Rolf, Leverkusen, DE
Schramm, Matthias, Cologne, DE
Thomas, Gunter, Wuppertal, DE
IN FRANCKOWIAK GERHARD (DE); GROSS RAINER (DE); GROSSER ROLF (DE); SCHRAMM MATTHIAS (DE); THOMAS GUNTER (DE)
PAF Bayer Aktiengesellschaft, Leverkusen, DE
PA BAYER AG DE (29448)
EXNAM Lee, Mary C
EXNAM Bjorkman, Dale A
AG Sprung Horn Kramer & Woods
PI US 4764516 880816 (CITED IN 005 LATER PATENTS)
AI US 85-806071 851206

PRAI DE 84-3447169 841222
FI US 4764516 880816
DT UTILITY; EXPIRED
FS CHEMICAL
MRN 4492 MFN: 0859
CLMN 7
AB Pure enantiomers of 5-nitrodihydropyridine of the formula

1-R3,2-R4,3-(NO2-),4-R,5-R1,6-R2-1,4-DIHYDROPYRIDINE

are mixed, wherein one of the enantiomers has a high vasodilative action and a low negative inotropic activity on heart muscle, the other enantiomer has a low vasoconstrictive action and a high positive inotropic activity on heart muscle, the mixture being high in vasodilative activity and in positive inotropic activity on heart muscle.

L20 ANSWER 12 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1861680 IFIPAT;IFIUDB;IFICDB
TI PYRIMIDINECARBOXYLIC ACID DERIVATIVES; VASODILATION, HYPOTENSIVE AGENT
INF Atwal, Karnail, Cranbury, NJ
Rovnyak, George C, Hopewell, NJ
IN ATWAL KARNAIL; ROVNYAK GEORGE C
PAF E R Squibb & Sons, Inc, Princeton, NJ
PA SQUIBB, E R & SONS INC (79248)
EXNAM Ford, John M
AG Furman, Jr, Theodore R
Levinson, Lawrence S
PI US 4753946 880628 (CITED IN 002 LATER PATENTS)
AI US 87-36047 870408
FI US 4753946 880628
DT UTILITY
FS CHEMICAL
OS CA 109:149562
MRN 4840 MFN: 0704
CLMN 18
AB Pyridine compounds of the formula

1-(R15-OOC-),2-(R1-S-),4-R2,5-(R3-OOC-),6-R4-1,6-DIHY-DROPYRIMIDINE

wherein R4 is aryl or heterocyclo are disclosed. These compounds are useful as cardiovascular agents due to their calcium entry blocking vasodilator activity.

L20 ANSWER 13 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1853790 IFIPAT;IFIUDB;IFICDB
TI PHARMACEUTICAL USE OF ((((3-PYRIDINYL)METHYLEN)AMINO)OXY)ALKANOIC ACIDS AND ESTERS; THROMBOXANE SYNTHETASE INHIBITION
INF Freyne, Eddy J E, Rumst, BE
Raeymaekers, Alfons H M, Beerse, BE
Sipido, Victor, Merksem, BE
Venet, Marc G, Paris, FR
IN FREYNE EDDY J (BE); RAEYMAEKERS ALFONS H (BE); SIPIDO VICTOR (BE); VENET MARC G (FR)
PAF Janssen Pharmaceutica NV, Beerse, BE
PA JANSSEN PHARMACEUTICA N V BE (43736)
EXNAM Jiles, Henry R
EXNAM Bjorkman, Dale A
AG Dellenbaugh, Geoffrey G
PI US 4746671 880524
AI US 86-888670 860723

RLI US 85-794999 851104 CONTINUATION-IN-PART ABANDONED
FI US 4746671 880524
DT UTILITY
FS CHEMICAL
MRN 4709 MFN: 0654
4709 0655
CLMN 29
AB Novel ((((3-pyridinyl)methylen)amino)oxy)alkanoic acids and esters, compositions containing the same, and methods of treating clinical conditions related with the production of thromboxane A2, prostacyclin and/or prostaglandins D2, E2 and F2 Alpha .

L20 ANSWER 14 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1834310 IFIPAT;IFIUDB;IFICDB
TI 2-SUBSTITUTED THIO OR OXY-4-ARYL OR HETEROCYCLO-5-CARBOXY-1,4-DIHYDROPYRIMIDINES, COMPOSITION CONTAINING THEM, AND METHOD OF USING THEM TO REDUCE BLOOD PRESSURE; VASODILATORS
INF Atwal, Karnail, Cranbury, NJ
IN ATWAL KARNAIL
PAF E R Squibb & Sons, Inc, Princeton, NJ
PA SQUIBB, E R & SONS INC (79248)
EXNAM Jiles, Henry R
EXNAM Briscoe, Kurt G
AG Davis, Stephen B
Levinson, Lawrence S
PI US 4728652 880301 (CITED IN 006 LATER PATENTS)
AI US 86-854201 860421
RLI US 85-736151 850520 CONTINUATION-IN-PART ABANDONED
FI US 4728652 880301
DT UTILITY; EXPIRED
FS CHEMICAL
MRN 4785 MFN: 0445
CLMN 23
AB 1,4-Dihydropyrimidines of the formula

2-(R1-X-),4-R4,5-(R3-OOC-),6-R2-1,4-DIHYDROPYRIMIDINE

wherein X is sulfur or oxygen and R4 is aryl or heterocyclo and disclosed. These compounds are useful as cardiovacular agents, particularly anti-hypertensive agents, due to their vasodilator activity.

L20 ANSWER 15 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1832598 IFIPAT;IFIUDB;IFICDB
TI PYRIMIDINE DERIVATIVES AND COMPOSITION OF THE SAME; CEREBOVASCULAR DISEASES
INF Kuno, Atsushi, Mino, JP
Sugiyama, Yoshie, Takarazuka, JP
Takasugi, Hisashi, Osaka, JP
Takaya, Takao, Kawanishi, JP
IN KUNO ATSUSHI (JP); SUGIYAMA YOSHIE (JP); TAKASUGI HISASHI (JP); TAKAYA TAKAO (JP)
PAF Fujisawa Pharmaceutical Co, Ltd, Osaka, JP
PA FUJISAWA PHARMACEUTICAL CO LTD JP (32600)
EXNAM Hollrah, Glennon H
EXNAM Turnipseed, James H
AG Oblon, Fisher, Spivak, McClelland & Maier
PI US 4727073 880223 (CITED IN 005 LATER PATENTS)
AI US 85-779043 850923
PRAI GB 8424711 841001
GB 859623 850415
FI US 4727073 880223
DT UTILITY; EXPIRED

FS CHEMICAL
MRN 4765 MFN: 0345
CLMN 11
AB The invention relates to new pyrimidine derivatives, useful in the treatment of cerebrovascular disease, of the formula:

2-R3,4-AR,5-R1,6-R2-PYRIMIDINE

wherein Ar, R1, R2 and R3 are defined in the specification.

L20 ANSWER 16 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1831003 IFIPAT;IFIUDB;IFICDB
TI PYRIMIDINE COMPOUNDS HAVING ACTIVITY AS A CARDIOTONIC ANTI-HYPERTENSIVE CEREBROVASCULAR VASODILATOR AND ANTI-PLATELET AGGREGATION AGENT
INF Ito, Kiyotaka, Ibaragi, JP
Murata, Masayoshi, Osaka, JP
Takaya, Takao, Kawanishi, JP
IN ITO KIYOTAKA (JP); MURATA MASAYOSHI (JP); TAKAYA TAKAO (JP)
PAF Fujisawa Pharmaceutical Co, Ltd, Osaka, JP
PA FUJISAWA PHARMACEUTICAL CO LTD JP (32600)
EXNAM Daus, Donald G
EXNAM Shen, Cecilia
AG Oblon, Fisher, Spivak, McClelland & Maier
PI US 4725600 880216 (CITED IN 010 LATER PATENTS)
AI US 85-751867 850705
PRAI GB 8417852 840713
GB 8423667 840919
GB 8430456 841203
FI US 4725600 880216
DT UTILITY; EXPIRED
FS CHEMICAL
MRN 4777 MFN: 0307
CLMN 18
AB The invention relates to pyrimidine compounds of the formula:

1-R5,2-(R4---),3-R3,4-(R2---),5-R6,6-R1-DI-,TETRA- OR HEXAHYDROPYRIMIDINE

wherein the substituents R1, R2, R3, R4, R5 and R6 are as herein defined, having activity as a cardiotonic, anti-hypertensive, cerebrovascular vasodilator and anti-platelet aggregation agent.

L20 ANSWER 17 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1785208 IFIPAT;IFIUDB;IFICDB
TI 2,6-DIMETHYL-3N,5-DISUBSTITUTED-4-(SUBSTITUTED PHENYL)3,4-DIHYDROPYRIMIDINE COMPOUNDS AND A METHOD FOR TREATING DISORDERS OF CARDIOCIRCULAR SYSTEM; HYPOTENSIVE AGENTS, ANTI-ANGINA
INF Aisaka, Kazuo, Osaka, JP
Cho, Hidetsura, Ibaraki, JP
Ishihara, Takafumi, Toyonaka, JP
Sato, Fumio, Nagaokakyo, JP
IN AISAKA KAZUO (JP); CHO HIDETSURA (JP); ISHIHARA TAKAFUMI (JP); SATO FUMIO (JP)
PAF Suntory Limited, Osaka, JP
PA SUNTORY LTD JP (81755)
EXNAM Daus, Donald G
EXNAM Shen, Cecilia
AG Cushman, Darby & Cushman
PI US 4683234 870728 (CITED IN 004 LATER PATENTS)
AI US 85-708885 850306
DCD 3 Feb 2004
PRAI JP 84-101569 84101569 840519

PI JP 84-107004 84107004 840526
JP 84-163614 84163614 840803
FI US 4683234 870728
DT UTILITY
FS CHEMICAL
MRN 4381 MFN: 0326
CLMN 13
AB N-substituted 3,4-dihydropyrimidine derivatives of the formula:

2,6-DI(CH3-),3-(R1-OC-),4-((X1,X2,X3-PHENYL)-),5-(R2-OOC)-3,4-DIHYDROPYRIMIDINE

wherein X1, X2 and X3 are the same or different and are hydrogen, nitro, halogen, cyano, trifluoromethyl, methylthio or lower alkoxy; R1 is (C1-C13) straight or branched alkoxy, (C4-C12) straight or branched alkenyloxy, (C5-C8) straight or branched alkynyloxy, (C1-C4) straight or branched alkyl, (C3-C6) cycloalkyl, -O-(CH2)n-A wherein n is 1, 2 or 3, A is cyclopropyl, cyclobutyl, cyclopentyl, or (C1-C3) haloalkyl, -O-(CH2)m-O-B wherein m is 1, 2, 3 or 4, B is (C1-C3) alkyl, or -O-(CH2)l-D wherein l is an integer from zero to 8, D is phenyl or substituted phenyl; R2 is (C1-C12) straight or branched alkyl, (C4-C7) straight or branched alkenyl, -(CH2)p-E wherein p is 1, 2 or 4, E is cyclopropyl, cyclobutyl or cyclopentyl,

-(CH2)Q-N(-G)-J

wherein q is 2, 3 or 4; G and J are the same or different and are phenyl, methyl, ethyl, isopropyl, benzyl, phenethyl, methoxycarbonyl or ethoxycarbonyl, or -(CH2)r-O-L wherein r is 1 or 2, L is methyl, ethyl or phenyl, with the proviso that wherein R1 is methoxy or ethoxy, R2 is neither methyl nor ethyl, and pharmaceutically acceptable acid addition salts thereof are useful as agents for treating disorders of the cardiovascular system, for example, hypotensive agents, agents for ameriolation of brain circulation and anti-angina pectoris agents. Processes for producing the above compounds economically and effectively are also disclosed.

L20 ANSWER 18 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1774885 IFIPAT;IFIUDB;IFICDB
TI METHOD FOR TREATMENT OF GASTROINTESTINAL DISORDERS; 2-AMINO-5-HYDROXY-4-METHYLPYRIMIDINE
INF LaMattina, John L, Ledyard, CT
IN LAMATTINA JOHN L
PAF Pfizer Inc, New York, NY
PA PFIZER INC (65376)
EXNAM Daus, Donald G
EXNAM Kapner, Stephen M
AG Dryer, Mark
Knuth, Charles J
Richardson, Peter C
PI US 4673677 870616 (CITED IN 004 LATER PATENTS)
AI US 85-764351 850809
RLI US 83-538233 831003 DIVISION 4554276
FI US 4673677 870616
US 4554276
DT UTILITY
FS CHEMICAL
CLMN 3
AB A method for the treatment of gastrointestinal disorders in a patient which comprises administering to the patient a gastric anti-secretory effective amount of a 2-amino-5-hydroxy-

4methylpyrimidine or a substituted amino derivative thereof, optionally in admixture with an additional gastric anti-secretory agent.

L20 ANSWER 19 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1739684 IFIPAT;IFIUDB;IFICDB
TI 3N-SUBSTITUTED 3,4-DIHYDROPYRIMIDINES AS AGENTS FOR TREATING DISORDERS OF CARDIOVASCULAR SYSTEM; VASODILATORS
INF Aisaka, Kazuo, Mishima, JP
Cho, Hidetsura, Ibaraki, JP
Emon, Mariko, Matsudo, JP
IN AISAKA KAZUO (JP); CHO HIDETSURA (JP); EMON MARIKO (JP)
PAF Suntory Limited, Osaka, JP
PA SUNTORY LTD JP (81755)
EXNAM Daus, Donald G
EXNAM Shen, Cecilia
AG Cushman, Darby & Cushman
PI US 4640922 870203 (CITED IN 003 LATER PATENTS)
AI US 85-708887 850306
PRAI JP 84-44729 8444729 840308
FI US 4640922 870203
DT UTILITY; EXPIRED
FS CHEMICAL
MRN 4381 MFN: 0324
CLMN 4
AB A 3N-substituted 3,4-dihydropyrimidine derivative of the formula:

2-H3C,3-(R1-OOC-),4-R,5-(R2-OOC-),6-X-3,4-DIHYDRO-PYRIMIDINE

wherein R1 is methyl or ethyl, R2 is methyl or ethyl, R is phenyl or substituted phenyl, X is chloro or methyl and pharmaceutically acceptable acid addition salts thereof have substantially the same strong vasodilative and Ca++ antagonistic effects as nicardipine and therefore are useful as agents for treating disorders of the cardiovascular system, for example, hypotensive agents, agents for amelioration of brain circulation and anti-angina pectoris agents. Processes for producing the above compounds economically and effectively are also disclosed.

L20 ANSWER 20 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1705269 IFIPAT;IFIUDB;IFICDB
TI 1,4-DIHYDROPYRIDINE-3,5-DICARBOXYLIC ACID ESTER DERIVATIVES AND PHARMACEUTICAL COMPOSITIONS; VASODILATION; HYPOTENSIVE AGENTS
INF Aihara, Kenichi, Fukuoka, JP
Ao, Hideki, Nakatsu, JP
Araki, Kazuhiko, Nakatsu, JP
Inui, Jun, Tokyo, JP
IN AIHARA KENICHI (JP); AO HIDEKI (JP); ARAKI KAZUHIKO (JP); INUI JUN (JP)
PAF Yoshitomi Pharmaceutical Industries, Ltd, Osaka, JP
PA YOSHITOMI PHARMACEUTICAL INDUSTRIES LTD JP (93712)
EXNAM Ramsuer, Robert W
AG Sughrue, Mion, Zinn, Macpeak & Seas
PI US 4618607 861021 (CITED IN 005 LATER PATENTS)
AI US 82-448576 821210
PRAI WO 82-JP75 82JP75 820317
FI US 4618607 861021
DT UTILITY; EXPIRED
FS CHEMICAL
MRN 4588 MFN: 0728
CLMN 7
AB 1,4-Dihydropyridine-3,5-dicarboxylic acid ester derivatives of the

general formula:

2-R1,3-(R3-OOC-),4-((X1,X2,X3-(Y-HC=W-HC=)>HC)-)-1,4-DIHYDROPYRIDINE

or acid addition salts thereof, wherein W is -CH= or -N=; Y is CH=CH-, -O-, -S-, -CH=N(O)p- (p is zero or 1) or -N(R)- (R is hydrogen or lower alkyl); X1, X2 and X3 are the same or different, and are each hydrogen, halogen, nitro, trifluoromethyl, cyano or lower alkylthio; Z is aryl or 5- or 6membered aromatic heterocyclic ring (which may have a substituent or two or three substituents which may be the same or different, and the substituent may be halogen, lower alkyl, lower alkoxy, lower alkanoylamino, cyano, nitro, lower alkylthio, trifluoromethyl, sulfamoyl, di-lower alkylsulfamoyl, amino or dilower alkylamino);

-N<(-A-)

is 5- to 7-membered heterocyclic ring which may have nitrogen atom, oxygen atom, sulfur atom or unsaturated bond on the ring, and may be substituted by lower alkyl, lower alkoxycarbonyl, lower alkanoylamino, ethylenedioxy or -(CH2)m-OR4 (R4 is hydrogen, lower alkyl or lower alkanoyl and m is 0, 1 or 2); R1 and R2 are the same or different, and are each lower alkyl; R3 is lower alkyl, aralkyl, heteroaralkyl; and n is an integer 1 to 5. Such compounds are useful as antihypertensive agents and as therapeutic agents for cardiac and cerebral circulation disorders.

L20 ANSWER 21 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1599112 IFIPAT;IFIUDB;IFICDB
TI ANTIHYPERTENSIVE N-SUBSTITUTED 1,4-DIHYDROPYRIDINES; WATER-SOLUBLE VASODIALATORS
INF Jones, Howard, Ossining, NY
Loev, Bernard, Scarsdale, NY
Suh, John T, Greenwich, CT
IN JONES HOWARD; LOEV BERNARD; SUH JOHN T
PAF USV Pharmaceutical, Tuckahoe, NY
PA USV PHARMACEUTICAL CORP (88014)
EXNAM Jiles, Henry R
EXNAM Bjorkman, Dale A
PI US 4520131 850528 (CITED IN 004 LATER PATENTS)
AI US 83-471957 830303
FI US 4520131 850528
DT UTILITY; REASSIGNED; EXPIRED
FS CHEMICAL
OS CA 103:123366
MRN 4103 MFN: 0451
CLMN 4
AB Antihypertensive compounds of the formula

1-(R4-N(-R3)-Z-),2,6-DI(R2-),3,5-BIS(R1-OOC-),4-AR-1,4-DIHYDROPYRIDINE

where the substituents are as herein defined and where Z is alkylene, R3 is alkoxyalkyl and R4 is hydroxyalkyl.

L20 ANSWER 22 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1293057 IFIPAT;IFIUDB;IFICDB
TI SILA-SUBSTITUTED 1,4-DIHYDROPYRIDINE DERIVATIVES AND THEIR MEDICINAL USE
INF Bentlage, Anke, Braunschweig, DE
Tacke, Reinhold, Braunschweig, DE
Towart, Robertson, Wuppertal, DE

Vater, Wulf, Leverkusen, DE
IN BENTLAGE ANKE (DE); TACKE REINHOLD (DE); TOWART ROBERTSON (DE); VATER WULF (DE)
PAF Bayer Aktiengesellschaft, Leverkusen, DE
PA BAYER AG DE (29448)
EXNAM Jiles, Henry R
EXNAM Bond, Robert T
AG Sprung, Felfe, Horn, Lynch & Kramer
PI US 4237137 801202 (CITED IN 010 LATER PATENTS)
AI US 79-64936 790808
PRAI DE 78-2837477 780828
FI US 4237137 801202
DT UTILITY
FS CHEMICAL
CLMN 15
AB The invention provides sila-substituted 1,4-dihydropyridine derivatives useful as medicament which influence the circulation. Also included in the invention are methods for the procurement of said derivatives, compositions containing said derivatives and methods for the use of said derivatives.

L20 ANSWER 23 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1024510 IFIPAT;IFIUDB;IFICDB
TI 1,4-DIHYDROPYRIDINE ESTERS; CORONARY DILATORS, ANTI-FIBRILLATORS, ANTI-HYPERTENSIVES, SPASMOLYTICS
INF Bossert, Friedrich, Wuppertal, DE
Stoepel, Kurt, Wuppertal, DE
Vater, Wulf, Opladen, DE
Wehinger, Egbert, Neviges, DE
IN BOSSERT FRIEDRICH; STOEPEL KURT; VATER WULF; WEHINGER EGBERT
PAF Bayer Aktiengesellschaft, DE
PA BAYER AG DE (29448)
EXNAM Rotman, Alan L
PI US 3974278 760810 (CITED IN 005 LATER PATENTS)
AI US 75-576724 750512
RLI US 74-485300 740702 DIVISION ABANDONED
PRAI DE 73-2335466 730712
FI US 3974278 760810
BE 817540
DE 2335466
FR 2236497
GB 1436289
NL 7409344
DT UTILITY
FS CHEMICAL
OS CA 82:156107
CLMN 12
AB A new class of 1,4-dihydropyridines which are characterized by the presence of ester substitutes at positions 3 and 5 of the nucleus and by the presence of an alkoxyalkyl at position 2. The products exhibit coronary activity and have particular application as coronary dilators, anti-fibrillators, antihypertensives, and as muscular and vascular spasmolytics.

L20 ANSWER 24 OF 24 IFICDB COPYRIGHT 1998 IFI
AN 1018402 IFIPAT;IFIUDB;IFICDB
TI 5-METHYLTHIO-PYRIMIDINE VASODILATORS
INF Claverie, Jean-Marie, Enghien-les-Bains, FR
Loiseau, Gerard, Sceaux, FR
Mattioda, Georges, Enghien-les-Bains, FR
Millischer, Rene, Pringy, FR
Percheron, Francois, Brevannes, FR
IN CLAVERIE JEAN-MARIE; LOISEAU GERARD; MATTIODA GEORGES; MILLISCHER

. RENE; PERCHERON FRANCOIS
PAF Produits Chimiques Ugine Kuhlmann, Paris, FR
PA PRODUITS CHIMIQUES UGINE KUHLMANN FR (47097)
EXNAM Schenkman, Leonard
AG Beveridge, DeGrandi, Kline & Lunsford
PI US 3968214 760706 (CITED IN 005 LATER PATENTS)
AI US 73-406129 731012
PRAI FR 73-7324875 73.24875 730706
FI US 3968214 760706
DE 2342881
FR 2244520
GB 1450211
NL 7312324
DT UTILITY
FS CHEMICAL
OS CA 82:156364
CLMN 13
AB The compounds of the formula:

D R A W I N G

IN WHICH Y represents a chlorine atom or an alkoxy, dialkylaminoalkoxy, pyridylalkoxy group, R1 and R2 are identical or different substituents and represent alkyl or alkoxycarbonylalkyl groups, substituted or unsubstituted phenyl groups or form together with the nitrogen atom to which they are attached, a heterocyclic ring which may contain another heteroatom, R3 and R4 are identical or different substituents and represent alkyl groups or form, together with the nitrogen atom to which they are attached, a heterocyclic ring which may contain another hetero-atom; process for their preparation; medicaments comprising such compounds or salts thereof, and their use in the treatment of human beings. The present invention relates to new pyrimidines, to their use as medicaments on account of their spasmolytic, coronary dilator and hypoglycemiant properties and to their preparation. These compounds, derived from 5-methylthio-pyrimidine, may be represented by the general formula:

D R A W I N G

IN WHICH Y represents a chlorine atom or an alkoxy, dialkylaminoalkoxy or pyridylalkoxy group, the alkoxy and alkyl groups preferably containing 1 to 4 carbon atoms, R1 and R2 are identical or different substituents and represent alkyl, alkoxycarbonylalkyl, substituted or unsubstituted phenyl or benzyl groups or form, together with the nitrogen atom to which they are attached, a heterocyclic ring which may contain another hetero-atom, the alkyl and alkoxy groups preferably containing 1 to 4 carbon atoms, R3 and R4 are identical or different substituents and represent alkyl groups preferably containing 1 to 4 carbon atoms or form, together with the nitrogen atom to which they are attached, a heterocyclic ring which may possibly contain another hetero-atom. Substituents of the phenyl group may be for example halogen atoms or alkyl, alkoxy or trihalomethyl groups. The compounds of formula (I) may be prepared for example by reacting a compound of the formula:

D R A W I N G

IN WHICH R1 and R2 have the same significance as above, with an ethylenediamine of the formula:

D R A W I N G

in which R3 and R4 have the same significance as above, and possibly replacing the remaining chlorine atom by an alkoxy, dialkylamino-alkoxy or pyridylalkoxy group. The reaction with the compound of formula (III) is preferably effected in a solvent, in the presence of an acid-absorbing agent, at a temperature between 20*C. and 100*C. The substitution of the remaining chlorine atom may be effected for example by the action of an alcoholate of an alkali metal or by the action of an excess of the corresponding alcohol and caustic potash at the refluxing temperature.

```
=> s l11 and l2

L21        927 L11 AND L2

=> s l21 and l10

L22         38 L21 AND L10

=> s l21 and l14

L23        104 L21 AND L14

=> s endothelin######

L24        112 ENDOTHELIN######

=> s l24 and l21

L25          5 L24 AND L21

=> d l25 1- bib,ab

YOU HAVE REQUESTED DATA FROM 5 ANSWERS - CONTINUE? Y/(N):y


L25  ANSWER 1 OF 5  IFICDB  COPYRIGHT 1998 IFI
AN    2798691  IFIPAT;IFIUDB;IFICDB
TI    BENZENESULFONAMIDE DERIVATIVE AND PROCESS FOR PREPARING THEREOF;
    ENDOTHELIN ANTAGONISTS
INF   Kikkawa, Kohei, Kawaguchi, JP
      Kohno, Rikako, Omiya, JP
      Yamada, Koichiro, Saitama-ken, JP
      Yasuda, Kosuke, Saitama-ken, JP
IN    Kikkawa Kohei (JP); Kohno Rikako (JP); Yamada Koichiro (JP); Yasuda
      Kosuke (JP)
PAF   Tanabe Seiyaku Co, Ltd, Osaka, JP
PA    Tanabe Seiyaku Co Ltd JP (82733)
EXNAM Grumbling, Matthew V
AG    Finnegan, Henderson, Farabow, Garrett & Dunner, LLP
PI    US  5589478  961231
AI    US  94-356958  941216
PRAI  JP  93318779  931217
      JP  94140628  940623
      JP  94183553  940804
FI    US  5589478  961231
DT    UTILITY
FS    CHEMICAL
MRN   7261   MFN: 0101
CLMN  15
AB    A benzenesulfonamide derivative of the formula (I):

                          D R A W I N G

      wherein Ring A and Ring B are the same or different and each
```

substituted or unsubstituted benzene ring, Q is a single bond or a group of the formula: -O-, -S-, -SO-, -SO2- or -CH2-, Y is a group of the formula: -O-, -S- or -NH-, Alk is lower alkylene group or lower alkenylene group, Z is a single bond or a group of the formula: -O- or -NH-, R is a substituted or unsubstituted aromatic heterocyclic or aryl group, R1 is hydrogen atom, trifluoromethyl group, substituted or unsubstituted lower alkyl group, substituted or unsubstituted lower alkenyl group, mono- or di-lower alkylamino group, substituted or unsubstituted lower alkylthio group, substituted or unsubstituted lower alkoxy group, substituted or unsubstituted lower alkynyl group, aromatic heterocyclic group, substituted or unsubstituted aliphatic heterocyclic group or aryl group, provided that when Z is a single bond, R is a substituted or unsubstituted aromatic heterocyclic group, or a pharmaceutically acceptable salt thereof, and processes for preparing the same, these compounds having **endothelin** antagonistic activity and being useful in the prophylaxis or treatment of various diseases caused by **endothelin**.

L25 ANSWER 2 OF 5 IFICDB COPYRIGHT 1998 IFI
AN 2745319 IFIPAT;IFIUDB;IFICDB
TI SULFONYLAMINOPYRIMIDINES; **ENDOTHELIN** RECEPTOR INHIBITORS
INF Breu, Volker, Schliengen, DE
Burri, Kaspar, Binningen, CH
Cassal, Jean-Marie, Mulhouse, FR
Clozel, Martine, Saint-Louis, FR
Hirth, Georges, Huningue, FR
Loffler, Bernd-Michael, Oberrimsingen, DE
Muller, Marcel, Frenkendorf, CH
Neidhart, Werner, Bartenheim, FR
Ramuz, Henri, Birsfelden, CH
IN Breu Volker (DE); Burri Kaspar (CH); Cassal Jean-Marie (FR); Clozel Martine (FR); Hirth Georges (FR); Loffler Bernd-Michael (DE); Muller Marcel (CH); Neidhart Werner (FR); Ramuz Henri (CH)
PAF Hoffmann-La Roche Inc, Nutley, NJ
PA Hoffmann-La Roche Inc (39424)
EXNAM Ford, John M
AG Gould, George M
Johnston, George W
Silverman, Robert A
PI US 5541186 960730
AI US 94-266072 940627
PRAI CH 931924 930628
CH 941575 940520
FI US 5541186 960730
DT UTILITY; REASSIGNED
FS CHEMICAL
CLMN 23
AB A compound of the formula

D R A W I N G

wherein R1 to R, Ra, RbX, Y, Z, m and n have the significance given in the description, can be used as medicaments, especially for the treatment and prophylaxix of conditions which are associated with **endothelin** activities.

L25 ANSWER 3 OF 5 IFICDB COPYRIGHT 1998 IFI
AN 2609899 IFIPAT;IFIUDB;IFICDB
TI PHENYLSULFONYLAMIDE PYRIMIDINE; TREATMENT OF HYPERTENSION, ISCHEMIA, VASOSPASMS, ANGINA
INF Breu, Volker, Schliengen, DE
Burri, Kaspar, Binningen, CH

Cassal, Jean-Marie, Mulhouse, FR
Clozel, Martine, St Louis, FR
Hirth, Georges, Huningue, FR
Loffler, Bernd-Michael, Oberrimsingen, DE
Muller, Marcel, Frenkenforf, CH
Neidhart, Werner, Bartenheim, FR
Ramuz, Henri, Birsfelden, CH

IN Breu Volker (DE); Burri Kaspar (CH); Cassal Jean-Marie (FR); Clozel Martine (FR); Hirth Georges (FR); Loffler Bernd-Michael (DE); Muller Marcel (CH); Neidhart Werner (FR); Ramuz Henri (CH)

PAF Hoffmann-La Roche Inc, Nutley, NJ

PA Hoffmann-La Roche Inc (39424)

EXNAM Dees, Jose G

EXNAM Carr, Deborah D

AG Gould, George M
Johnston, George W
Silverman, Robert A

PI US 5420129 950530 (CITED IN 004 LATER PATENTS)

AI US 93-164167 931208

PRAI CH 92-3777 923777 921210
CH 92-3799 923799 921211
CH 93-3114 933114 931014

FI US 5420129 950530

DT UTILITY

FS CHEMICAL

MRN 6861 MFN: 0023
6861 0027

CLMN 14

AB The invention is concerned with novel sulphonamides and their use as medicaments. In particular, the invention is concerned with compounds of the formula

D R A W I N G

wherein R1 is hydrogen, lower-alkyl, lower-alkoxy, lower-alkylthio, halogen or trifluoromethyl; R2 is hydrogen, lower-alkyl, halogen, lower-alkoxy, trifluoromethyl or -OCH2COOR9; R3 is hydrogen, lower-alkyl, halogen, lower-alkylthio, trifluoromethyl, lower-alkoxy or trifluoromethoxy; R2 and R3 together are butadienyl, methylenedioxy, ethylenedioxy or isopropylidenedioxy; R4 is hydrogen, lower-alkyl, trifluoromethyl, lower-alkoxy, lower-alkylthio, hydroxy-lower-alkyl, hydroxy-lower-alkoxy, hydroxy-lower-alkoxy-lower-alkyl, hydroxy-lower-alkoxy-loweralkoxy, alkoxy-lower-alkyl, alkoxy-lower-alkyloxy, loweralkylsulfinyl, lower-alkylsulfonyl, 2-methoxy-3-hydroxypropoxy, 2-hydroxy-3-phenylpropyl, amino-lower-alkyl, lower-alkylaminolower-alkyl, di-lower-alkylamino-lower-alkyl, amino, loweralkylamino, di-lower-alkylamino, arylamino, aryl, arylthio, aryloxy, aryl-lower-alkyl, heterocyclyl, heterocyclo-loweralkyl, heterocyclylamino, heterocyclylthio, heterocyclyloxy, CHO, -CH2OH or -CH2Cl; R5 to R8 are independently hydrogen, halogen, trifluoromethyl, lower-alkoxy, lower-alkylthio or cyano; R6 and R5 or R7 together are butadienyl, methylene-dioxy, ethylenedioxy or isopropylidenedioxy; X is -O- or -S-; Y is -CHO, C1-4-alkyl, -(CH2)1-4-Z-R9, -(CH2)1-4-OC(O)(CH2)14CH3, -(CH2)1-4OC(O)Het, -(CH2)1-4NHC(O)R10, -(CH2)14OCH2CH(OH)CH2OH and cyclic ketals thereof, -(CH2)14NR9CH2CH(OH)CH2OH, -(CH2)1-4OCH2CH2SCH3, -(CH2)14OCH2CH2S(O)CH3, -(CH2)1-4O(CH2)1-4-Z H, -(CH2)1-4O(CH2)14OC(O)R10, -(CH2)1-4NR9(CH2)1-4Z H, -(CH2)1-4O(CH2)1-4OC(O)Het, -(CH2)0-3CH(OH)R10, -(CH2)03CH(OH)CH2SCH3, -(CH2)0-3CH(OH)(CH2)1-4Z H, -(CH2)0-3CH(OH)CH2S(O)CH3, -(CH2)03CH(OH)OCH2CH2OH,

-(CH2)0-3C(O)(CH2)1-4CH3, -(CH2)0-3C(O)(CH2)14Z R11, -(CH2)0-3C(O)CH2Hal, -(CH2)1-4Hal, -(CH2)1-4CN, -(CH2)03C(O)OR9, -OR12 or -SR12; R9 is hydrogen or C1-4-alkyl; R10 is C1-4-alkyl; R11 is hydrogen, C1-4-alkanoyl or heterocyclylcarbonyl; R12 is C1-4-alkyl or -(CH2)0-4-aryl; Z is -O-, -S- or -NR9-; Het is a heterocyclic residue; Hal is halogen; and n is 0 or 1; and salts thereof.

L25 ANSWER 4 OF 5 IFICDB COPYRIGHT 1998 IFI
AN 2589324 IFIPAT;IFIUDB;IFICDB
TI QUINAZOLINONES SUBSTITUTED WITH PHENOXYPHENYLACETIC ACID DERIVATIVES; CARDIOVASCULAR DISORDERS OR HYPOTENSIVE AGENTS
INF Bagley, Scott W, Rahway, NJ
Chakravarty, Prasun K, Edison, NJ
Chen, Anna, Rahway, NJ
Dhanoa, Daljit S, Tinton Falls, NJ
Fitch, Kenneth J, Cranford, NJ
Greenlee, William J, Teaneck, NJ
Naylor, Elizabeth M, Scotch Plains, NJ
Tata, James R, Westfield, NJ
Walsh, Thomas F, Westfield, NJ
Williams, Jr, David L, Telford, PA
IN Bagley Scott W; Chakravarty Prasun K; Chen Anna; Dhanoa Daljit S; Fitch Kenneth J; Greenlee William J; Naylor Elizabeth M; Tata James R; Walsh Thomas F; Williams David L Jr
PAF Merck & Co, Inc, Rahway, NJ
PA Merck & Co Inc (54136)
EXNAM Ford, John M
AG Camara, Valerie J
Daniel, Mark R
DiPrima, Joseph F
PI US 5401745 950328 (CITED IN 001 LATER PATENTS)
AI US 93-33595 930319
FI US 5401745 950328
DT UTILITY
FS CHEMICAL
MRN 7238 MFN: 0283
CLMN 10
AB Phenoxyphenylacetic acids and derivatives of general structural formula I

D R A W I N G

have **endothelin** antagonist activity and are therefore useful in treating cardiovascular disorders, such as hypertension, postischemic renal failure, vasospasm, cerebal and cardiac ischemia, myocardial infarction, inflammatory diseases, Raynaud's disease, and endotoxic shock, and asthma.

L25 ANSWER 5 OF 5 IFICDB COPYRIGHT 1998 IFI
AN 2451969 IFIPAT;IFIUDB;IFICDB
TI SULFONAMIDES
INF Burri, Kaspar, Binningen, CH
Clozel, Martine, St Louis, FR
Fischli, Walter, Allschwil, CH
Hirth, Georges, Huningue, FR
Loffler, Bernd-Michael, Oberrimsingen, DE
Neidhart, Werner, Bartenheim, FR
Ramuz, Henri, Birsfelden, CH
IN Burri Kaspar (CH); Clozel Martine (FR); Fischli Walter (CH); Hirth Georges (FR); Loffler Bernd-Michael (DE); Neidhart Werner (FR); Ramuz Henri (CH)
PAF Hoffmann-La Roche Inc, Nutley, NJ

PA Hoffmann-La Roche Inc (39424)
EXNAM Ford, John M
AG Coletti, Ellen Ciambrone
Gould, George M
Johnston, George W
PI US 5292740 940308 (CITED IN 007 LATER PATENTS)
AI US 92-896015 920609
PRAI CH 91-1760 911760 910613
CH 92-1516 921516 920512
FI US 5292740 940308
DT UTILITY
FS CHEMICAL
MRN 6255 MFN: 0768
6319 0716
6676 0159
6676 0163
CLMN 33
AB The novel sulfonamides of formula I,

D R A W I N G

in which the symbols R1-R9, Ra, Rb, X, Y and n have the significance given in the description and salts thereof can be used for the treatment of circulatory disorders, especially hypertension, ischemia, vasopasms and angina pectoris.

=> d his

(FILE 'HOME' ENTERED AT 13:47:52 ON 06 JAN 1998)

FILE 'IFICDB' ENTERED AT 13:48:06 ON 06 JAN 1998
L1 9026 S ((34553 OR 34615)(L)(33696 OR 33697 OR 33698 OR 33700 O
L2 6196 S L1(NOTL)(34211 OR 33918 OR 34128 OR 33962OR 33079 OR 30
L3 4230 S (02797)/UN OR HYPERTENSION?
L4 417 S MYOCARDIAL INFARCT OR 03568/UN
L5 55 S RAYNAUDS? OR RAYNAUD?
L6 680 S 00441 OR ATHEROSCLEROSIS
L7 0 S 08423
L8 381 S 08423/UN
L9 1408 S 00441/UN OR L6
L10 2938 S 05859/UN OR 05860/UN
L11 8483 S 514241000-514276000/NCLR
L12 104 S L2 AND L10
L13 38 S L11 AND L12
L14 5913 S L3 OR L4 OR L5 OR L9
L15 13 S L14 AND L13
L16 4 S L12 AND L8
L17 7 S L2 AND L8
L18 20 S L15 OR L16 OR L17
L19 44 S L13 OR L18
L20 24 S L19 NOT L18
L21 927 S L11 AND L2
L22 38 S L21 AND L10
L23 104 S L21 AND L14
L24 112 S ENDOTHELIN######
L25 5 S L24 AND L21

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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